US6114358

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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Apr 08	"Ask CAS" for self-help around the clock
NEWS 3	Jun 03	New e-mail delivery for search results now available
NEWS 4	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 5	Aug 19	Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN
NEWS 6	Aug 26	Sequence searching in REGISTRY enhanced
NEWS 7	Sep 03	JAPIO has been reloaded and enhanced
NEWS 8	Sep 16	Experimental properties added to the REGISTRY file
NEWS 9	Sep 16	CA Section Thesaurus available in CAPLUS and CA
NEWS 10	Oct 01	CASREACT Enriched with Reactions from 1907 to 1985
NEWS 11	Oct 24	BEILSTEIN adds new search fields
NEWS 12	Oct 24	Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 13	Nov 18	DKILIT has been renamed APOLLIT
NEWS 14	Nov 25	More calculated properties added to REGISTRY
NEWS 15	Dec 04	CSA files on STN
NEWS 16	Dec 17	PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS 17	Dec 17	TOXCENTER enhanced with additional content
NEWS 18	Dec 17	Adis Clinical Trials Insight now available on STN
NEWS 19	Jan 29	Simultaneous left and right truncation added to COMPENDEX, ENERGY, INSPEC
NEWS 20	Feb 13	CANCERLIT is no longer being updated
NEWS 21	Feb 24	METADEX enhancements
NEWS 22	Feb 24	PCTGEN now available on STN
NEWS 23	Feb 24	TEMA now available on STN
NEWS 24	Feb 26	NTIS now allows simultaneous left and right truncation
NEWS 25	Feb 26	PCTFULL now contains images
NEWS 26	Mar 04	SDI PACKAGE for monthly delivery of multifile SDI results
NEWS 27	Mar 19	APOLLIT offering free connect time in April 2003
NEWS 28	Mar 20	EVENTLINE will be removed from STN
NEWS 29	Mar 24	PATDPAFULL now available on STN
NEWS 30	Mar 24	Additional information for trade-named substances without structures available in REGISTRY
NEWS 31	Apr 11	Display formats in DGENE enhanced
NEWS 32	Apr 14	MEDLINE Reload
NEWS 33	Apr 17	Polymer searching in REGISTRY enhanced
NEWS 34	Apr 21	Indexing from 1947 to 1956 being added to records in CA/CAPLUS
NEWS 35	Apr 21	New current-awareness alert (SDI) frequency in WPIDS/WPINDEX/WPIX
NEWS 36	Apr 28	RDISCLOSURE now available on STN
NEWS 37	May 05	Pharmacokinetic information and systematic chemical names added to PHAR

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003

US6114358

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FILE 'HOME' ENTERED AT 11:57:50 ON 12 MAY 2003

=> file registry
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.21       0.21

FILE 'REGISTRY' ENTERED AT 11:58:02 ON 12 MAY 2003
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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES:   11 MAY 2003  HIGHEST RN 514167-89-6
DICTIONARY FILE UPDATES:  11 MAY 2003  HIGHEST RN 514167-89-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading stru1.str

L1      STRUCTURE UPLOADED

=> D
L1 HAS NO ANSWERS
L1              STR
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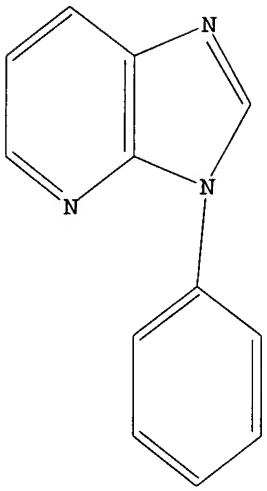
US6114358



Structure attributes must be viewed using STN Express query preparation.

=> file CAPLUS

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.40	0.61

FILE 'CAPLUS' ENTERED AT 11:58:26 ON 12 MAY 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 12 May 2003 VOL 138 ISS 20
FILE LAST UPDATED: 11 May 2003 (20030511/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> S L1
REG1stRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 11:58:30 FILE 'REGISTRY'

US6114358

SAMPLE SCREEN SEARCH COMPLETED - 297 TO ITERATE

100.0% PROCESSED 297 ITERATIONS 34 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 4907 TO 6973
PROJECTED ANSWERS: 331 TO 1029

L2 34 SEA SSS SAM L1

L3 30 L2

=> D ibib abs hitstr L3 1-30

L3 ANSWER 1 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:977466 CAPLUS
DOCUMENT NUMBER: 138:204987
TITLE: Efficient Synthesis of 3H-Imidazo[4,5-b]pyridines from Malononitrile and 5-Amino-4-(cyanoformimidoyl)imidazoles
AUTHOR(S): Zaki, Magdi E. A.; Proenca, M. Fernanda; Booth, Brian L.
CORPORATE SOURCE: Departamento de Quimica, Universidade do Minho, Braga, 4710, Port.
SOURCE: Journal of Organic Chemistry (2003), 68(2), 276-282
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

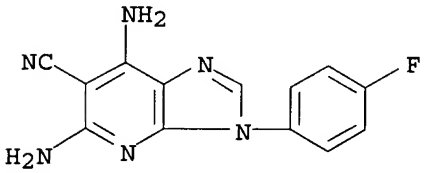
AB 1-Aryl-5-amino-4-(cyanoformimidoyl)imidazoles 2 were reacted with malononitrile under mild exptl. conditions and led to 3-aryl-5,7-diamino-6-cyano-3H-imidazo[4,5-b]pyridines I (R = 4-MeC6H4, 4-FC6H4, 4-MeC6H4, 4-CNC6H4), when the reaction was carried out in the presence of DBU, or to 3-aryl-5-amino-6,7-dicyano-3H-imidazo[4,5-b]pyridines II, in its absence. Both reactions evolved from the adduct formed by nucleophilic attack of the malononitrile anion to the carbon of the cyanoformimidoyl substituent. A 5-amino-1-aryl-4-(1-amino-2,2-dicyanovinyl)imidazole III (R = 4-MeC6H4, 4-FC6H4, 4-MeC6H4) was isolated when this reaction was carried out in the presence of DBU. The structure of III was confirmed by spectroscopic methods and by reaction with tri-Et orthoformate and with acetic anhydride, leading resp. to 9-aryl-6-(cyanomethylidene)purines. Imidazole IV was also reacted with Et acetoacetate, a carbon acid with a pKa comparable to that of malononitrile, which led to 6-carbamoyl-1,2-dihydropurine V, showing that a different mechanism was operating in this case.

IT **499983-06-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of imidazopyridines via cyclocondensation reactions of malononitrile with amino(cyanoformimidoyl)imidazoles generated from corresponding formamidines)

RN 499983-06-1 CAPLUS

US6114358

CN 3H-Imidazo[4,5-b]pyridine-6-carbonitrile, 5,7-diamino-3-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

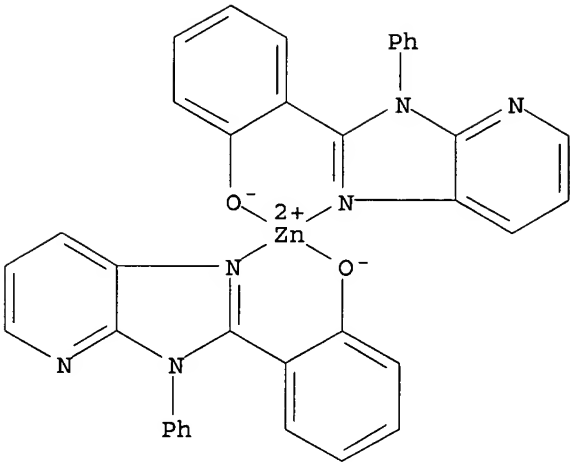


REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:901127 CAPLUS
DOCUMENT NUMBER: 137:391157
TITLE: Organic electroluminescent devices with good color, brightness, and durability
INVENTOR(S): Igarashi, Tatsuya
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 29 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002338957	A2	20021127	JP 2001-143414	20010514

PRIORITY APPLN. INFO.: JP 2001-143414 20010514
OTHER SOURCE(S): MARPAT 137:391157
AB The device, useful for displays, backlights, etc., has light-emitting layers contg. (A) Ar32Ar31Ar(Ar11Ar12)Ar21Ar22 (Ar11, Ar21, Ar31 = arylene; Ar12, Ar22, Ar32 = H, substituent; Ar11, Ar21, Ar31, Ar12, Ar22, Ar32 = condensed ring aryl, condensed ring heteroaryl; Ar = arylene, heteroarylene) and (B) metal complexes.
IT **303049-17-4**
RL: DEV (Device component use); USES (Uses)
(org. EL devices with good color, brightness, and durability)
RN 303049-17-4 CAPLUS
CN Zinc, bis[2-(3-phenyl-3H-imidazo[4,5-b]pyridin-2-yl-.kappa.N1)phenolato-.kappa.O]-, (T-4)- (9CI) (CA INDEX NAME)

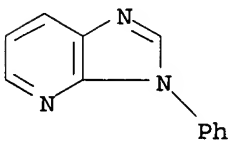


L3 ANSWER 3 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:361401 CAPLUS
DOCUMENT NUMBER: 137:232591
TITLE: Polymer-assisted parallel solution phase synthesis of substituted benzimidazoles
AUTHOR(S): Yun, Young K.; Porco, John A., Jr.; Labadie, Jeff
CORPORATE SOURCE: Argonaut Technologies, Foster City, CA, 94404, USA
SOURCE: Synlett (2002), (5), 739-742
CODEN: SYNLES; ISSN: 0936-5214
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:232591

AB A small library of benzimidazoles was prepd. using polymer-bound reagents and scavengers. Polymer-assisted reaction of phenylenediamines with carboxylic acids yielded o-amidophenylamines in the presence of polystyrene-carbodiimide (PS-carbodiimide) using 1-hydroxy-7-azabenzotriazole (HOAt) as additive. Excess HOAt was scavenged post-reaction using polystyrene-trisamine (PS-trisamine) resin. Treatment of o-amidophenylamines with AcOH facilitated acid-catalyzed cyclodehydration to afford benzimidazoles in good yields and excellent purities.

IT **61532-33-0P**
RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)
(polymer-assisted parallel soln. phase synthesis of substituted benzimidazoles)

RN 61532-33-0 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine, 3-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

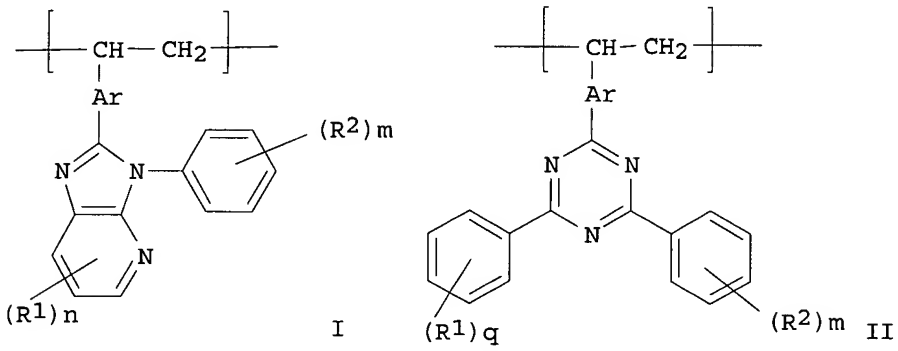
L3 ANSWER 4 OF 30 CAPLUS COPYRIGHT 2003 ACS

US6114358

ACCESSION NUMBER: 2002:354001 CAPLUS
DOCUMENT NUMBER: 136:377202
TITLE: Light-emitting device and material therefor
INVENTOR(S): Okada, Hisashi; Ise, Toshihiro; Mishima, Masayuki; Taguchi, Toshiki
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: U.S. Pat. Appl. Publ., 91 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002055014	A1	20020509	US 2001-935711	20010824
JP 2002319491	A2	20021031	JP 2001-236419	20010803

PRIORITY APPLN. INFO.: JP 2000-254171 A 20000824
JP 2001-38718 A 20010215
JP 2001-236419 A 20010803
OTHER SOURCE(S): MARPAT 136:377202
GI

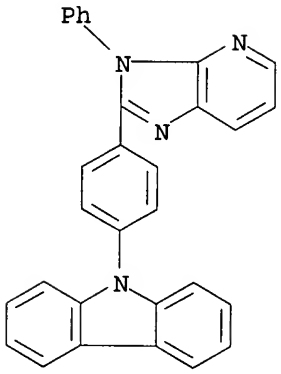


AB Light-emitting devices comprising a pair of electrodes formed on a substrate and org. compd. layers comprising a light-emitting layer provided in between the electrodes are described in which .gtoreq.1 of the org. compd. layers comprises a heterocyclic compd. having .gtoreq.2 atoms and a phosphorescent compd.; polymers with repeating units described by the general formulas I and II (Ar = arylene or divalent heterocyclic group; R1 and R2 = independently selected H or substituent; n = 0-3; q = 0-5; and m = 0-5), which may be employed as the heterocyclic compds. in the devices, are also described. The devices may also employ polymers of heterocyclic compds. from which AR is absent. The phosphorescent compd. may be an org. metal complex.

IT **350025-78-4**
RL: DEV (Device component use); USES (Uses)
(light-emitting devices with emitting layers including heterocyclic compds. and phosphorescent materials and heterocycle deriv. polymers for them)

RN 350025-78-4 CAPLUS
CN 9H-Carbazole, 9-[4-(3-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)phenyl]- (9CI) (CA INDEX NAME)

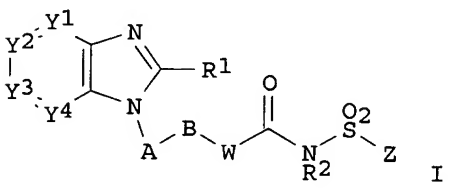
US6114358



L3 ANSWER 5 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:314939 CAPLUS
DOCUMENT NUMBER: 136:340677
TITLE: Preparation of imidazoarenes as antiinflammatory and analgesic agents.
INVENTOR(S): Nakao, Kazunari; Okumura, Yoshiyuki; Matsumizu, Miyako; Uneo, Naomi; Hashizume, Yoshinobu; Kato, Tomoki; Kawai, Akiyoshi; Miyake, Yoriko; Nukui, Seiji; Shinjyo, Katsuhiro; Taniguchi, Kana
PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.
SOURCE: PCT Int. Appl., 461 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002032900	A2	20020425	WO 2001-IB1940	20011015
WO 2002032900	A3	20020808		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002077329	A1	20020620	US 2001-977761	20011015
US 2002107273	A1	20020808	US 2001-977621	20011015

PRIORITY APPLN. INFO.: US 2000-241825P P 20001019
OTHER SOURCE(S): MARPAT 136:340677
GI



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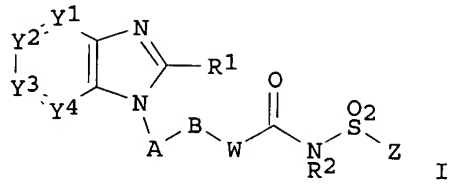


I

AB Title compds. [I; Y1-Y4 = N, CH, CL; R1 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, pyrrolidinyl, amino, etc.; A = (substituted) 5-6 membered monocyclic arom. ring optionally contg. up to 3 heteroatoms selected from O, N, S, etc.; B = halo-substituted alkylene, cycloalklylene, alkenylene, alkynylene, alkyleneoxy, etc., optionally substituted with an oxo group; W = amino, O, S, bond, etc.; R2 = H, OH, alkyl, alkoxy; Z = 5-12 membered (substituted) monocyclic or bicyclic aryl optionally contg. up to 3 heteroatoms selected from O, N and S, etc.; L = halo, alkyl, haloalkyl, OH, alkoxy, haloalkoxy, alkylthio, NO2, amino, etc.], were prepd. as prostaglandin E2 receptor antagonists, preferably as EP4 receptor antagonists. Thus, to 2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethylamine (prepn. given) in CH2Cl2 was added p-toluenesulfonyl isocyanate followed by stirring for 3 h to give 56% 2-ethyl-5,7-dimethyl-3-[4-[2-[[[[(4-methylphenyl)sulfonyl]amino]carbonyl]amino]ethyl]phenyl]-3H-imidazo[4,5-b]pyridine. Preferred I inhibited PGE2-induced thermal hyperalgesia in rats with ED50<60 mg/kg.

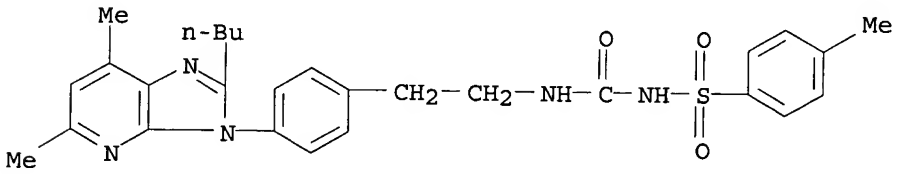
IT **415903-06-9P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of imidazoarene prostaglandin EP4 receptor antagonists as antiinflammatory and analgesic agents)

RN 415903-06-9 CAPLUS

CN Benzenesulfonamide, N-[[[2-[4-(2-butyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl]amino]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



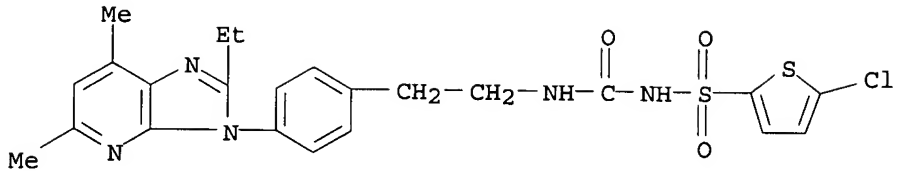
IT **415903-17-2P 415904-49-3P 415904-55-1P 415904-92-6P 415905-80-5P 415906-11-5P 416844-69-4P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

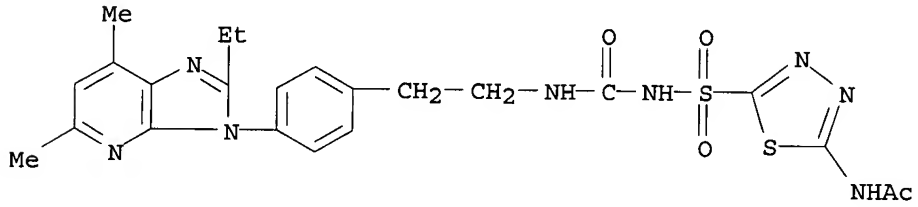
(prepn. of imidazoarene prostaglandin EP4 receptor antagonists as antiinflammatory and analgesic agents)

RN 415903-17-2 CAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[[[2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

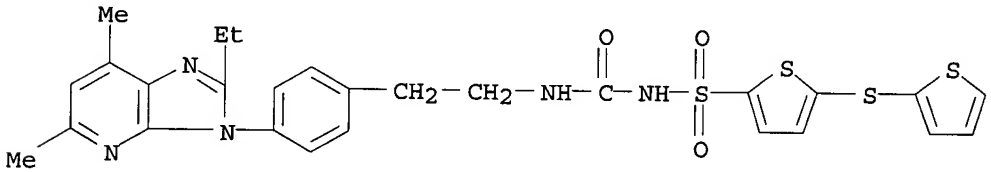


RN 415904-49-3 CAPLUS
CN Acetamide, N-[5-[[[[[2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl]amino]carbonyl]amino]sulfonyl]-1,3,4-thiadiazol-2-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 415904-55-1 CAPLUS
CN 2-Thiophenesulfonamide, N-[[[2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl]amino]carbonyl]-5-(2-thienylthio)-, monohydrochloride (9CI) (CA INDEX NAME)

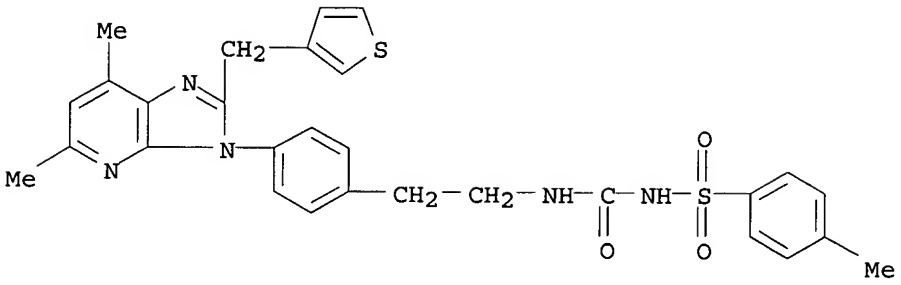


● HCl

RN 415904-92-6 CAPLUS
CN Formic acid, compd. with N-[[[2-[4-[5,7-dimethyl-2-(3-thienylmethyl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl]ethyl]amino]carbonyl]-4-methylbenzenesulfonamide (1:1) (9CI) (CA INDEX NAME)

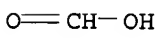
CM 1

CRN 415904-91-5
CMF C29 H29 N5 O3 S2



CM 2

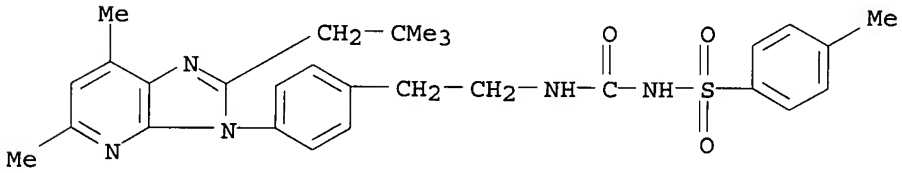
CRN 64-18-6
CMF C H2 O2



RN 415905-80-5 CAPLUS
CN Formic acid, compd. with N-[[[2-[4-[2-(2,2-dimethylpropyl)-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl]phenyl]ethyl]amino]carbonyl]-4-methylbenzenesulfonamide (1:1) (9CI) (CA INDEX NAME)

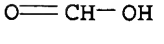
CM 1

CRN 415903-10-5
CMF C29 H35 N5 O3 S

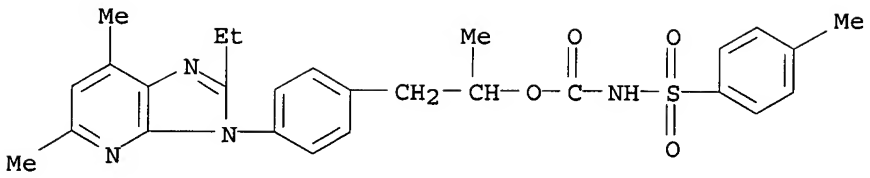


CM 2

CRN 64-18-6
CMF C H2 O2

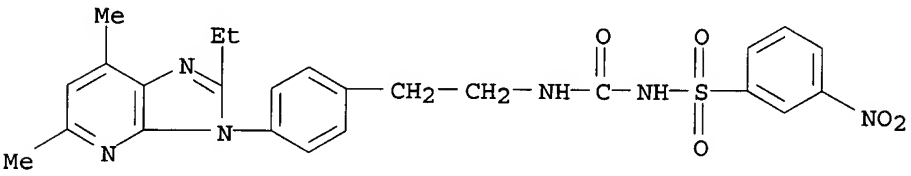


RN 415906-11-5 CAPLUS
CN Carbamic acid, [(4-methylphenyl)sulfonyl]-, 2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]-1-methylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



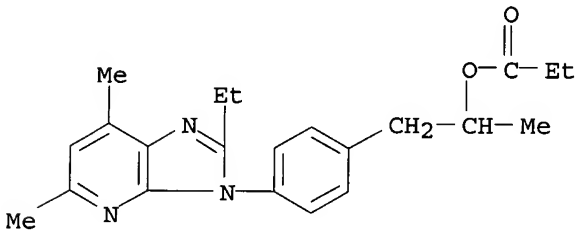
● HCl

RN 416844-69-4 CAPLUS
CN Benzenesulfonamide, N-[[[2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl]amino]carbonyl]-3-nitro- (9CI) (CA INDEX NAME)

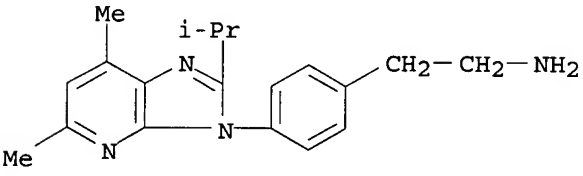


IT **415907-67-4P 415907-86-7P 415908-00-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of imidazoarene prostaglandin EP4 receptor antagonists as antiinflammatory and analgesic agents)

RN 415907-67-4 CAPLUS
CN Benzeneethanol, 4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)-.alpha.-methyl-, propanoate (ester) (9CI) (CA INDEX NAME)

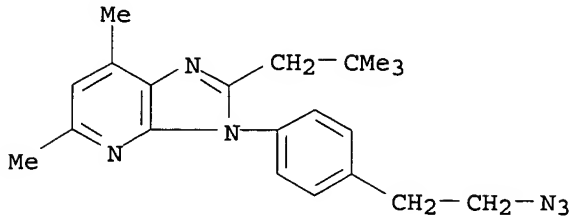


RN 415907-86-7 CAPLUS
CN Benzeneethanamine, 4-[5,7-dimethyl-2-(1-methylethyl)-3H-imidazo[4,5-b]pyridin-3-yl]- (9CI) (CA INDEX NAME)



RN 415908-00-8 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine, 3-[4-(2-azidoethyl)phenyl]-2-(2,2-

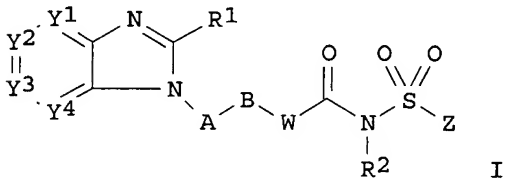
dimethylpropyl)-5,7-dimethyl- (9CI) (CA INDEX NAME)



L3 ANSWER 6 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:314767 CAPLUS
DOCUMENT NUMBER: 136:340676
TITLE: Preparation of benzimidazole derivatives as prostaglandin EP4 receptor inhibitors to treat rheumatoid arthritis
INVENTOR(S): Audoly, Laurent; Okumura, Takako; Shimojo, Masato
PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.
SOURCE: PCT Int. Appl., 468 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002032422	A2	20020425	WO 2001-IB1942	20011015
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002077329	A1	20020620	US 2001-977761	20011015
US 2002107273	A1	20020808	US 2001-977621	20011015

PRIORITY APPLN. INFO.: US 2000-241825P P 20001019
OTHER SOURCE(S): MARPAT 136:340676
GI



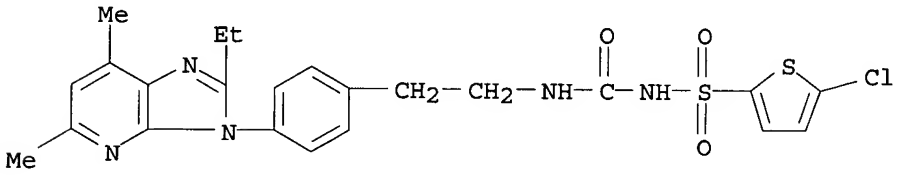
I

AB Benzimidazole derivs. I wherein Y1-Y4 are independently N, CH, alkyl, alkoxy, haloalkyl, halo, substituted alkyl, R1 is H, alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, haloalkoxy, heterocycle; R2 is H, alkyl, alkoxy, OH; A is substituted heterocycle arom ring; B is haloalkylene,

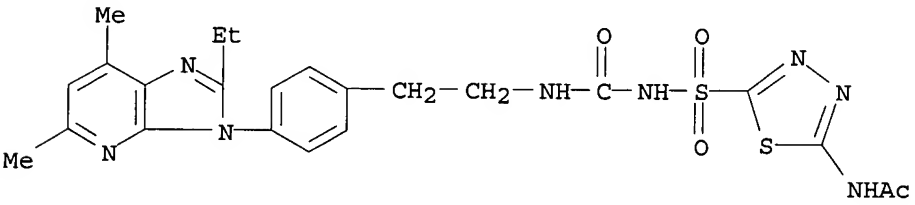
cycloalkylene, alkenylene, alkynylene, oxyalkylene; W is NH, aminoalkyl, O, S, oxime, covalent bond; Z is monocyclic and bicyclic arom. heterocycle, were prepd. as prostaglandin EP4 receptor inhibitors to treat rheumatoid arthritis of rats and human. Also featured is a method of identifying agents that selectively inhibit EP4 activity in vivo. Thus, 3-(4-{2[({[(3,4-dichlorophenyl)sulfonyl]amino}carbonyl)amino]ethyl}phenyl)-2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridine, hydrochloride was prepd. and tested in vivo as an agent selectively inhibiting EP4 activity or selectively binding EP4; and measuring joint inflammation, joint swelling, joint ankylosis, interleukin (IL)-6, SAA protein, and/or joint mobility.

IT **415903-17-2P 415904-49-3P 415904-55-1P**
415904-92-6P 415905-80-5P 415906-11-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzimidazole derivs. as prostaglandin ep receptor inhibitors to treat rheumatoid arthritis)

RN 415903-17-2 CAPLUS
CN 2-Thiophenesulfonamide, 5-chloro-N-[[[2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

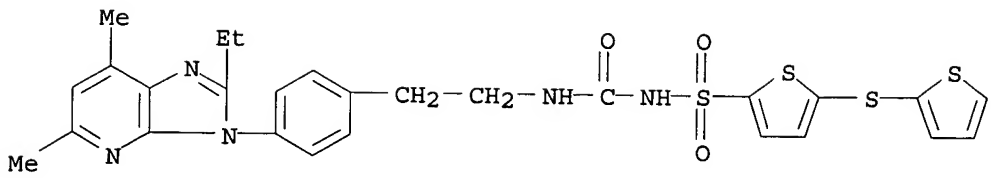


RN 415904-49-3 CAPLUS
CN Acetamide, N-[5-[[[[[2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl]amino]carbonyl]amino]sulfonyl]-1,3,4-thiadiazol-2-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 415904-55-1 CAPLUS
CN 2-Thiophenesulfonamide, N-[[[2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl]amino]carbonyl]-5-(2-thienylthio)-, monohydrochloride (9CI) (CA INDEX NAME)

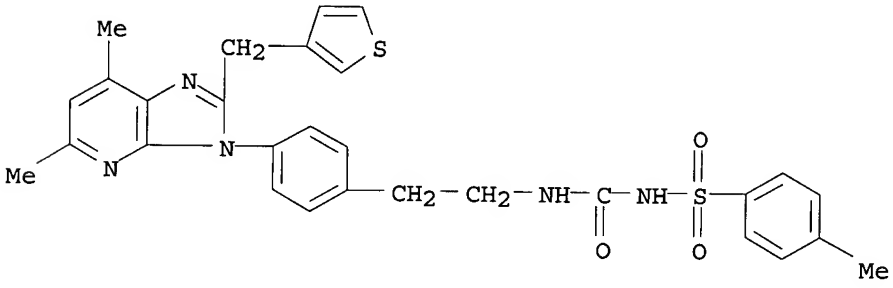


● HCl

RN 415904-92-6 CAPLUS
CN Formic acid, compd. with N-[[[2-[4-[5,7-dimethyl-2-(3-thienylmethyl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl]ethyl]amino]carbonyl]-4-methylbenzenesulfonamide (1:1) (9CI) (CA INDEX NAME)

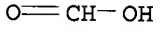
CM 1

CRN 415904-91-5
CMF C29 H29 N5 O3 S2



CM 2

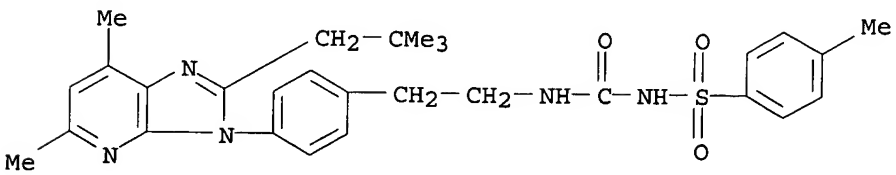
CRN 64-18-6
CMF C H2 O2

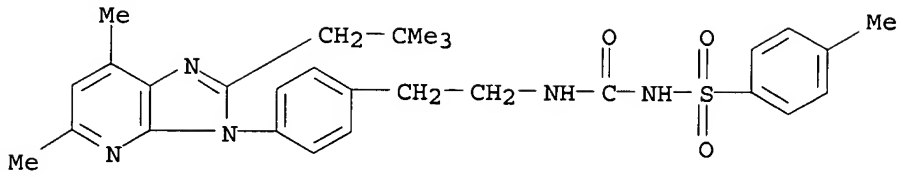


RN 415905-80-5 CAPLUS
CN Formic acid, compd. with N-[[[2-[4-[2-(2,2-dimethylpropyl)-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl]phenyl]ethyl]amino]carbonyl]-4-methylbenzenesulfonamide (1:1) (9CI) (CA INDEX NAME)

CM 1

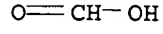
CRN 415903-10-5
CMF C29 H35 N5 O3 S



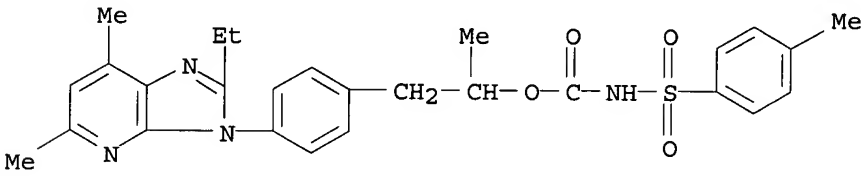


CM 2

CRN 64-18-6
CMF C H2 O2



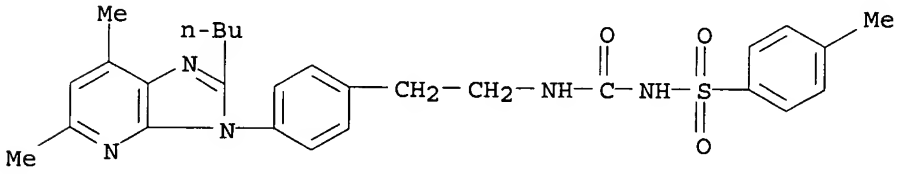
RN 415906-11-5 CAPLUS
CN Carbamic acid, [(4-methylphenyl)sulfonyl]-, 2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]-1-methylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



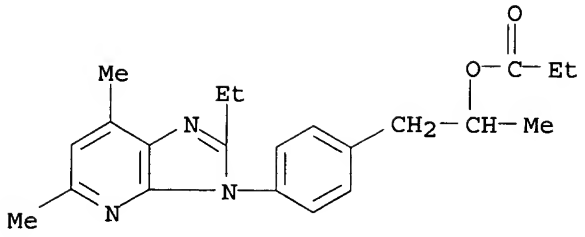
● HCl

IT **415903-06-9P 415907-67-4P 415907-86-7P**
415908-00-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of benzimidazole derivs. as prostaglandin ep receptor inhibitors to treat rheumatoid arthritis)

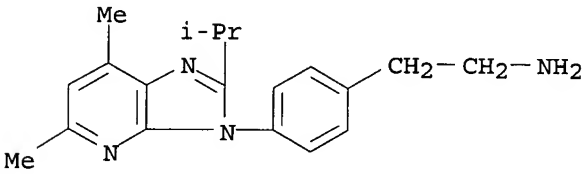
RN 415903-06-9 CAPLUS
CN Benzenesulfonamide, N-[[[2-[4-(2-butyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl]amino]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



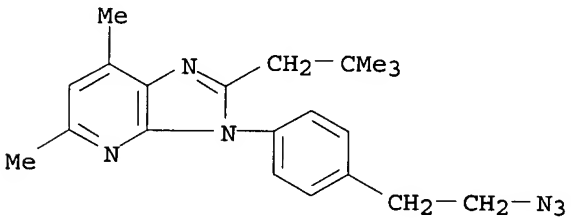
RN 415907-67-4 CAPLUS
CN Benzeneethanol, 4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)-.alpha.-methyl-, propanoate (ester) (9CI) (CA INDEX NAME)



RN 415907-86-7 CAPLUS
CN Benzeneethanamine, 4-[5,7-dimethyl-2-(1-methylethyl)-3H-imidazo[4,5-b]pyridin-3-yl]- (9CI) (CA INDEX NAME)



RN 415908-00-8 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine, 3-[4-(2-azidoethyl)phenyl]-2-(2,2-dimethylpropyl)-5,7-dimethyl- (9CI) (CA INDEX NAME)



L3 ANSWER 7 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:72050 CAPLUS
DOCUMENT NUMBER: 136:118449
TITLE: Preparation of heterocyclic beta-3 adrenergic receptor agonists
INVENTOR(S): Ashwell, Mark Anthony; Solvibile, William Ronald
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006235	A1	20020124	WO 2001-US22366	20010716

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ,

VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
US 2002022638 A1 20020221 US 2001-904115 20010712
US 6537994 B2 20030325
PRIORITY APPLN. INFO.: US 2000-218700P P 20000717

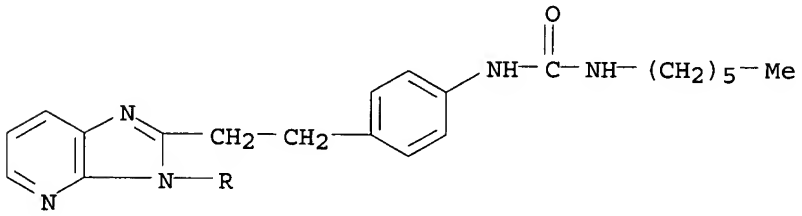
AB This invention provides A-U-CH(OH)CH2NHCH2CH2VC6H4W-p (1) or a pharmaceutically acceptable salt thereof, which are useful in treating or inhibiting metabolic disorders related to insulin resistance or hyperglycemia (typically assocd. with obesity or glucose intolerance), atherosclerosis, gastrointestinal disorders, neurogenic inflammation, glaucoma, ocular hypertension and frequent urination; and are particularly useful in the treatment or inhibition of type II diabetes. .beta.3-Adrenergic receptor EC50 and maximal response (IA; % activity compd./% activity isoproterenol) values are reported for 16 example compds., e.g. 0.057 .mu.M and 1.12 for 3-[4-[2-[(2S)-2-hydroxy-3-(4-hydroxyphenoxy)propylamino]ethyl]phenyl]-1-isopropenyl-1,3-dihydroimidazo[4,5-b]pyridin-2-one. In 1, A is (a) a 5-6 membered heterocyclic ring having 1-4 heteroatoms selected from O, N, and S, substituted with (R1)m; (b) a Ph ring substituted with (R1)m; (c) a naphthyl ring substituted with (R1)m; or (d) a Ph fused heterocycle selected from (R1)m-substituted 1,3-dihydro-2-oxo-2H-benzimidazol-4-yl, 1,3-benzodioxol-5-yl, 1,2,3,4-tetrahydro-2-oxoquinolin-5-yl, 1,2,3,4-tetrahydro-1-naphthylideneamino. U is -OCH2- or a bond; V is O or a bond; W is an amino or amido group wherein the N is substituted by an optionally substituted pyridyl or pyrazinyl ring or the N is incorporated into an imidazole ring fused with a pyridine or pyrazine ring. R1 is alkyl of 1-8 C atoms, aryl of 6-10 C atoms, -OR7, cycloalkyl of 3-8 C atoms, halogen, cyano, trifluoromethyl, CO2R7, NHCOR7, NHSO2R7, -NR7CONR8R9, -NR7R8, alkenyl of 2-7 C atoms, S(O)vR7, NO2, -O(CH2)uCO2R7, -OCONR7R8, -O(CH2)sOR7, or a 5-6 membered heterocyclic ring contg. 1 to 4 heteroatoms selected from O, S, and N. R2, R4, R7, R8, and R9 are each, independently, H, alkyl of 1-8 C atoms, aryl of 6-10 C atoms, cycloalkyl of 3-8 C atoms, or arylalkyl having 1-8 C atoms in the alkyl moiety; R3 is H, nitro, halogen, or -NR10R11. R5 is H; alkyl of 1-8 C atoms; alkenyl of 2-7 C atoms; arylalkyl having 1-8 C atoms in the alkyl moiety; alkyl of 1-8 C atoms, substituted with 1-4 substituents selected from -OR7 and halogen; -(CH2)qCR12R13(CH2)rR7; aryl of 6-10 C atoms, optionally mono, di, or trisubstituted with a substituent selected from halogen, cyano, nitro, trifluoromethyl, alkyl of 1-8 carbons optionally substituted with 1-4 substituents selected from OR7 or halogen, cycloalkyl of 3-8 C atoms, aryl of 6-10 C atoms, -NHCONR7R8, and -CO2R7; or a 5-6 membered heterocyclic ring contg. 1 to 4 heteroatoms selected from O, S, and N, which is optionally mono- or disubstituted with halogen, alkyl of 1-8 C atoms, or arylalkyl having 1-8 C atoms in the alkyl moiety. R6 is H, alkyl of 1-8 C atoms, alkenyl of 2-7 C atoms, or arylalkyl having 1-8 C atoms in the alkyl moiety; R10 and R11 are each, independently, H, alkyl of 1-8 C atoms, arylalkyl having 1-8 C atoms in the alkyl moiety, -COR7, or -CONR7R8; R12 and R13 are each, independently, H, alkyl of 1-8 C atoms, or aryl of 6-10 C atoms which is optionally substituted with alkyl of 1-8 C atoms or halogen; or R12 and R13 are taken together to form a spiro fused cycloalkyl ring of 3-8 C atoms. M = 0-2; q = 0-5; r = 0-5; s = 1-4; u = 1-4; v = 0-2. Methods of prepn. are claimed, comprising (a) reacting A-U-substituted oxirane or a protected form thereof in which a reactive substituent group is protected, with H2NCH2CH2VC6H4W-p or a protected form thereof in which a reactive substituent group is protected; and if required removing any protecting group to give 1. (b) reacting ACH(OPr)CH2I, wherein Pr is a protecting group, with H2NCH2CH2VC6H4W-p or a protected form thereof in which a reactive substituent group is protected; and if required removing any protecting group to give 1 wherein U represents a bond. (c) removing any protecting group from 1 in which at

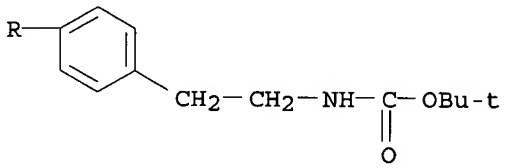
least one substituent carries a protecting group to give 1; or (d) converting a basic compd. 1 to a salt thereof by reaction with a pharmaceutically acceptable acid or (e) converting 1 having one or more reactive substituent groups to a different 1; or (f) isolating an isomer of 1 from a mixt. thereof.

IT **391674-60-5P**, tert-Butyl 4-[2-[4-[[(hexylamino)carbonyl]amino]phen ethyl]-3H-imidazo[4,5-b]pyridin-3-yl]phenethylcarbamate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of heterocyclic amino alc. beta-3 adrenergic receptor agonists)

RN 391674-60-5 CAPLUS

CN Carbamic acid, [2-[4-[2-[2-[4-[[(hexylamino)carbonyl]amino]phenyl]ethyl]-3H-imidazo[4,5-b]pyridin-3-yl]phenyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)





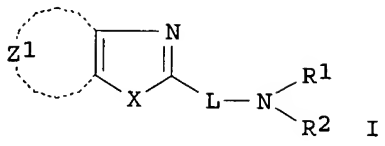
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:517740 CAPLUS
DOCUMENT NUMBER: 135:114270
TITLE: Novel condensed hetero ring compound and electroluminescent material
INVENTOR(S): Ise, Toshihiro; Okada, Hisashi
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 36 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001192653	A2	20010717	JP 2000-89632	20000328

PRIORITY APPLN. INFO.: JP 1999-305733 A 19991027
GI

US6114358



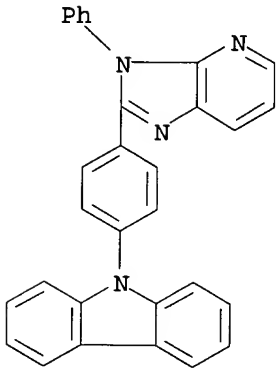
I

AB The invention refers to a novel condensed hetero ring compd. I [R1,2 = H, aliph. hydrocarbon, aryl or hetero ring; Z1 = atoms need to construct a heterocyclic; L = bridging functional group; X = O, S, Se, Trace element or N-R; R = H, aliph. hydrocarbon, aryl or heterocyclic].

IT **350025-78-4P**
RL: DEV (Device component use); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)
(novel condensed hetero ring compd. and electroluminescent material)

RN 350025-78-4 CAPLUS

CN 9H-Carbazole, 9-[4-(3-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)phenyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 9 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:766799 CAPLUS

DOCUMENT NUMBER: 133:327749

TITLE: Organic electroluminescent materials having azole ring, azole compound complexes, and electroluminescent devices

INVENTOR(S): Igarashi, Tatsuya; Okada, Hisashi

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 27 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000302754	A2	20001031	JP 1999-340788	19991130
US 6358634	B1	20020319	US 2000-504122	20000215

PRIORITY APPLN. INFO.: JP 1999-36107 A 19990215
JP 1999-340788 A 19991130

OTHER SOURCE(S): MARPAT 133:327749

GI

US6114358

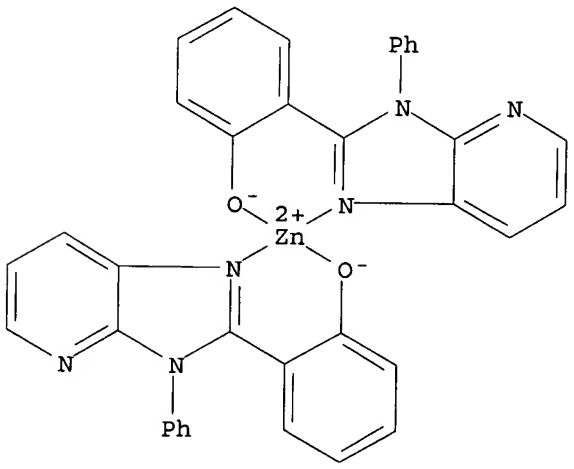
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The electroluminescent materials comprise compds. having partial structure I [R11, R12 = H, substituent; R11 and R12 do not form a ring; X1 = O, S, (un)substituted N, CR13R14; R13, R14 = H, substituent; Y1 = O,S, (un)substituted N; M1 = metal ion, H; Z1 = at. group to form a 5-6-membered ring] or II (Q1 = at. group to form a heterocycle; X2, Y2, M2, and Z2 = any group given for X1, Y1, M1, and Z1, resp.). Also claimed are azole compd. complexes III (R21, R22 = H, alkyl, aryl, heteroaryl; X3 and Y3 = any group given for X1 and Y1, resp.; M3 = metal ion; q1 .gtoreq. 1; L1 = ligand; m1 .gtoreq. 0; Z3 has no definition) and IV (Q2, X4, and Y4 = any group given for Q1, X1, and Y1, resp.; M4 = metal ion; q2 .gtoreq. 1; L2 = ligand; m2 .gtoreq. 0) and org. electroluminescent devices having which has .gtoreq.1 layer contg. .gtoreq.1 selected from I, II, III, and IV. A mixt. of salicylic acid, AcOEt, and DMF was treated with (COCl)2 at room temp. for 30 min and then treated with benzoin and Et3N at room temp. for 3 h to give 2-HOC6H4CO2CHPhCOBz. This was treated with AcONH4 in AcOH under reflux and the resulting triphenyloxazole deriv. was further treated with Zn(OAc)2 to give III (R21 = R22 = Ph, X3 = Y3 = O, M3 = Zn, q1 = 2, m1 = 0, Z3 = at. group to give a condensed benzene ring). An electroluminescent device having a luminescent layer contg. the complex showed blue emission.

IT **303049-17-4P**
RL: DEV (Device component use); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)
(prepn. of azole compds. and their metal complexes for electroluminescent devices)

RN 303049-17-4 CAPLUS

CN Zinc, bis[2-(3-phenyl-3H-imidazo[4,5-b]pyridin-2-yl-.kappa.N1)phenolato-.kappa.O]-, (T-4)- (9CI) (CA INDEX NAME)



L3 ANSWER 10 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:471599 CAPLUS
DOCUMENT NUMBER: 133:252364
TITLE: A solid-phase synthetic route to substituted 7-azabenzimidazoles suitable for combinatorial library synthesis
AUTHOR(S): Farrant, E.; Rahman, S. S.
CORPORATE SOURCE: Combinatorial and Chemical Technologies, SmithKline

US6114358

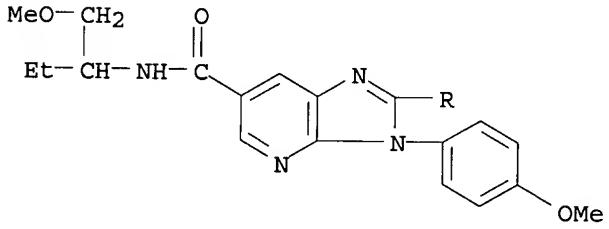
SOURCE: Beecham Pharmaceuticals, Essex, CM19 5AW, UK
Tetrahedron Letters (2000), 41(28), 5383-5386
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:252364

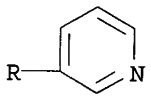
AB A novel route for the solid-phase synthesis of 1,2,5-substituted 7-azabenzimidazoles was developed. Primary amines are attached to an aldehyde resin and then coupled to 6-chloro-5-nitronicotinyl chloride. Subsequent alkylation with amines, redn. of the nitro group, and cyclocondensation with aldehydes gives 1,2,5-substituted 7-azabenzimidazoles.

IT **294844-94-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of azabenzimidazole combinatorial library)

RN 294844-94-3 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine-6-carboxamide, N-[1-(methoxymethyl)propyl]-3-(4-methoxyphenyl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)





REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:723021 CAPLUS
DOCUMENT NUMBER: 131:337022
TITLE: Preparation of condensed imidazole derivative as therapeutic agents for liver disease
INVENTOR(S): Nagasawa, Masaaki; Nishioka, Hiroyasu; Suzuki, Takanori; Segawa, Yoshihide; Tsuzuike, Naoki
PATENT ASSIGNEE(S): Nippon Chemiphar Co., Ltd., Japan; Zeria Pharmaceutical Co., Ltd.
SOURCE: PCT Int. Appl., 126 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9957103	A1	19991111	WO 1999-JP2309	19990430

W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM,

AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: JP 1998-136045 19980430
OTHER SOURCE(S): MARPAT 131:337022

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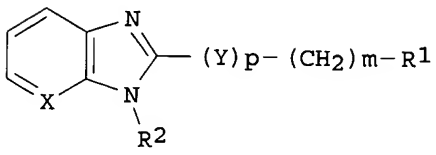

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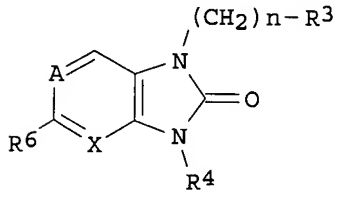


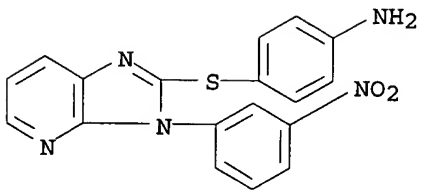
II

AB Title compds. I and II (X, Z = N, CH; A = N, CR5; Y = O, S, SO, SO2, NH; p = 0, 1; m = 0, 1, 2; n = 1, 2; R1 = Ph, pyridyl, etc; R2, R4 = Ph, pyridyl, substituted Ph, etc.; and R5, R6 = H; R5R6 = an atom group forming an arom. ring together with the carbon atoms to which they are attached) and their pharmaceutically acceptable salts, useful as a therapeutic agents for liver diseases with no serious adverse effect, are prepd. Thus, refluxing 2-(3-nitrophenylamino)nicotinic acid with diphenylphosphoryl azide in toluene in the presence of Et3N gave 3-(3-nitrophenyl)-1,3-dihydroimidazo[4,5-b]pyridine, refluxing of which with PCl5 and POCl3 gave, after treatment with 3-hydroxypyridine and NaH in DMF, 3-(3-nitrophenyl)-2-(3-pyridyl)oxy-3H-imidaz[4,5-b]pyridine. 1-(4-Pyridyl)methyl-3-(3-nitrophenyl)-1,3-dihydroimidazo[4,5-b]pyridine administered 30 mg/kg orally to BALB/C mice prior to i.v. administration of Con-A inhibited the Con-A induced liver damage as reflected by blood GPT levels.

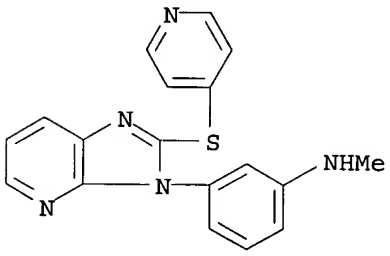
IT **249605-44-5P 249605-60-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of condensed imidazole derivs. as therapeutic agents for liver disease)

RN 249605-44-5 CAPLUS

CN Benzenamine, 4-[[3-(3-nitrophenyl)-3H-imidazo[4,5-b]pyridin-2-yl]thio]- (9CI) (CA INDEX NAME)



RN 249605-60-5 CAPLUS
CN Benzenamine, N-methyl-3-[2-(4-pyridinylthio)-3H-imidazo[4,5-b]pyridin-3-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 12 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:789290 CAPLUS
DOCUMENT NUMBER: 130:177118
TITLE: Structure-activity relationships for 1-phenylbenzimidazoles as selective ATP site inhibitors of the platelet-derived growth factor receptor
AUTHOR(S): Palmer, Brian D.; Smaill, Jeff B.; Boyd, Maruta; Boschelli, Dianne H.; Doherty, Annette M.; Hamby, James M.; Khatana, Sonya S.; Kramer, James B.; Kraker, Alan J.; Panek, Robert L.; Lu, Gina H.; Dahring, Tawny K.; Winters, R. Thomas; Showalter, H. D. Hollis; Denny, William A.
CORPORATE SOURCE: Auckland Cancer Society Research Centre Faculty of Medicine and Health Sciences, The University of Auckland School of Medicine, Auckland, N. Z.
SOURCE: Journal of Medicinal Chemistry (1998), 41(27), 5457-5465
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

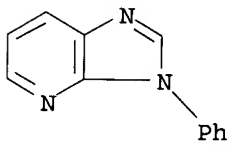
AB 1-Phenylbenzimidazoles are shown to be a new class of ATP-site inhibitors of the platelet-derived growth factor receptor (PDGFR). Structure-activity relationships (SARs) are narrow, with closely related heterocycles being inactive. A systematic study of substituted 1-phenylbenzimidazoles showed clear SARs. Substituents at the 4'- and 3'-positions of the Ph ring are tolerated but do not significantly improve activity, while substituents at the 2'-position abolish it. Substituents in the 2-, 4-, and 7-positions of the benzimidazole ring (with the exception of 4-OH) also abolish activity. Most substituents at the 5- and 6-positions maintain or increase activity, with the 5-OH, 5-OMe, 5-COMe, and 5-CO2Me analogs being >10-fold more potent than the parent 1-phenylbenzimidazole. The 5-OMe analog was both the most potent

inhibitor, and showed the highest selectivity (50-fold) between PDGFR and FGFR isolated enzymes, and also a moderately effective inhibitor (IC50 = 1.9 .mu.M) of PDGF-stimulated PDGFR autophosphorylation in rat aorta smooth muscle cells.

IT **220495-90-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(structure-activity relationships for 1-phenylbenzimidazoles as selective ATP site inhibitors of the platelet-derived growth factor receptor)

RN 220495-90-9 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 3-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



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REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:354225 CAPLUS
DOCUMENT NUMBER: 122:133200
TITLE: 5-arylindole derivatives and their use as serotonin (5-HT1) agonists
INVENTOR(S): Macor, John Eugene
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9410171	A1	19940511	WO 1993-US9790	19931019
W: AU, BR, CA, CZ, JP, KR, NO, NZ, PL, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2148380	AA	19940511	CA 1993-2148380	19931019
CA 2148380	C	20010814		
CA 2340999	AA	19940511	CA 1993-2340999	19931019
AU 9453294	A1	19940524	AU 1994-53294	19931019
AU 685066	B2	19980115		
EP 666858	A1	19950816	EP 1993-923389	19931019
EP 666858	B1	20010613		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 07508759	T2	19950928	JP 1993-511101	19931019
JP 2788551	B2	19980820		
CZ 283001	B6	19971217	CZ 1995-1108	19931019
PL 176091	B1	19990430	PL 1993-308669	19931019
BR 9307348	A	19990511	BR 1993-7348	19931019

RU 2134266	C1	19990810	RU 1995-109927	19931019	
EP 1094064	A1	20010425	EP 2000-124422	19931019	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE					
ES 2157224	T3	20010816	ES 1993-923389	19931019	
IL 107386	A1	19990312	IL 1993-107386	19931025	
FI 9304825	A	19940503	FI 1993-4825	19931101	
CN 1094727	A	19941109	CN 1993-120716	19931101	
CN 1051313	B	20000412			
ZA 9308137	A	19950502	ZA 1993-8137	19931101	
HU 66011	A2	19940829	HU 1993-3118	19931102	
US 5886008	A	19990323	US 1995-424357	19950427	
NO 9501633	A	19950428	NO 1995-1633	19950428	
FI 2000002505	A	20001115	FI 2000-2505	20001115	

PRIORITY APPLN. INFO.:

US 1992-970758	A	19921102
CA 1993-2148380	A3	19931019
EP 1993-923389	A3	19931019
WO 1993-US9790	W	19931019

OTHER SOURCE(S): MARPAT 122:133200

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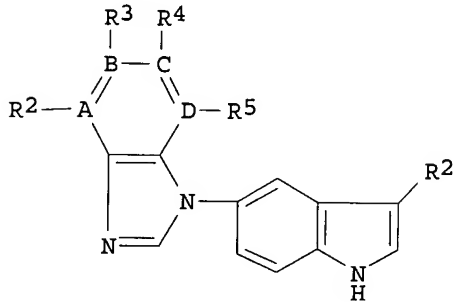

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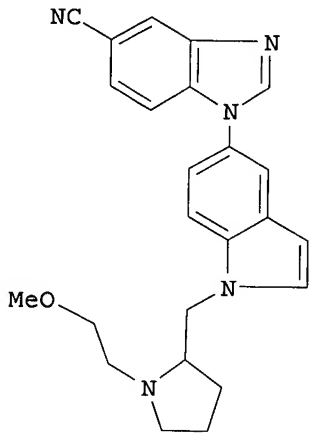


II

AB The title compds. I (R1 = aminoalkyl; R2-R5 = H, alkyl, aryl, etc.) were disclosed. I are useful in treating migraine and other disorders; they are useful psychotherapeutics and are potent serotonin (5-HT1) agonists and benzodiazepine agonists and antagonists and may be used in the treatment of depression, anxiety, eating disorders, obesity, drug abuse, cluster headache, migraine, pain and chronic paroxysmal hemicrania and headache assocd. with vascular disorders, and other disorders arising from deficient serotonergic neurotransmission. I are also useful as centrally acting antihypertensives and vasodilators. A specifically claimed example compd. is 5-cyano-1-[[3-[1-(2-methoxyethyl)-2-pyrrolidinyl]methyl]-5-indolyl]-1H-benzimidazole (II).

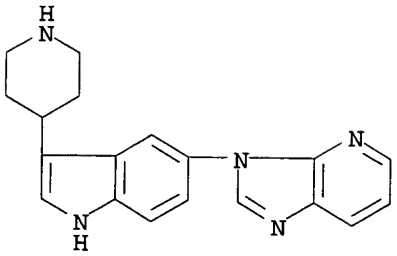
IT **160906-88-7P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for arylindole serotoninergic agonist)

RN 160906-88-7 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 3-[3-(4-piperidinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)

US6114358



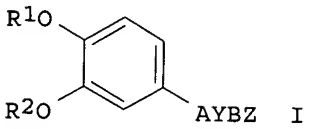
L3 ANSWER 14 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1994:655405 CAPLUS
DOCUMENT NUMBER: 121:255405
TITLE: Catechol diethers as selective phosphodiesterase IV inhibitors
INVENTOR(S): Duplantier, Allen J.; Eggler, James F.; Marfat, Anthony; Masamune, Hiroko
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9412461	A1	19940609	WO 1993-US10228	19931029
W: AU, BR, CA, CZ, JP, KR, NO, NZ, PL, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2150812	AA	19940609	CA 1993-2150812	19931029
CA 2150812	C	20021224		
AU 9455396	A1	19940622	AU 1994-55396	19931029
AU 673569	B2	19961114		
EP 672031	A1	19950920	EP 1994-900390	19931029
EP 672031	B1	20030312		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08501318	T2	19960213	JP 1993-513129	19931029
BR 9307570	A	19990525	BR 1993-7570	19931029
JP 3100984	B2	20001023	JP 1994-513129	19931029
AT 234270	E	20030315	AT 1994-900390	19931029
IL 107758	A1	19971120	IL 1993-107758	19931125
FI 9305379	A	19940603	FI 1993-5379	19931201
ZA 9308978	A	19950601	ZA 1993-8978	19931201
HU 65928	A2	19940728	HU 1993-3423	19931202
CN 1094028	A	19941026	CN 1993-112776	19931202
NO 9502178	A	19950801	NO 1995-2178	19950601
US 5814651	A	19980929	US 1997-872686	19970610

PRIORITY APPLN. INFO.:
US 1992-984408 A 19921202
WO 1993-US10228 W 19931029
US 1993-142328 B3 19931126

OTHER SOURCE(S): MARPAT 121:255405
GI

US6114358



I

AB The title compds. [I; A, B = direct bond, (un)substituted C1-5 alkylene, (un)substituted alkenyl, (un)substituted phenylene; R1 = Me, Et, CF2H, CF3; R2 = C1-6 alkyl, alkoxyalkyl, phenoxyalkyl, cycloalkyl, etc.; Y = direct bond, O, NR6, S; R6 = H, C1-4 alkyl; Z = (un)substituted monocyclic or bicyclic heterocyclyl], which are inhibitors of phosphodiesterase IV (no data), useful in the treatment of inflammatory conditions (no data), etc., are prepd. Thus, 3-(carbomethoxy)benzyltriphenylphosphonium bromide was reacted with 3-cyclopentyloxy-4-methoxybenzaldehyde in the presence of BuLi, producing Me 3-[2-[3-(cyclopentyloxy)-4-methoxyphenyl]ethenyl]benzoate (36% cis-isomer, 36% trans-isomer).

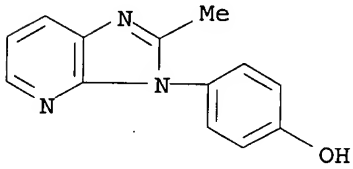
IT **132458-94-7P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, in prepn. of catechol diether phosphodiesterase IV inhibitors)

RN 132458-94-7 CAPLUS

CN Phenol, 4-(2-methyl-3H-imidazo[4,5-b]pyridin-3-yl)- (9CI) (CA INDEX NAME)



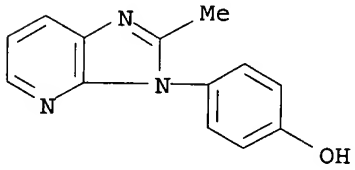
IT **132458-94-7**

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, in prepn. of catechol diether phosphodiesterase IV inhibitors)

RN 132458-94-7 CAPLUS

CN Phenol, 4-(2-methyl-3H-imidazo[4,5-b]pyridin-3-yl)- (9CI) (CA INDEX NAME)



L3 ANSWER 15 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1994:400458 CAPLUS

DOCUMENT NUMBER: 121:458

TITLE: Dihydropyridines: a new class of angiotensin II antagonists

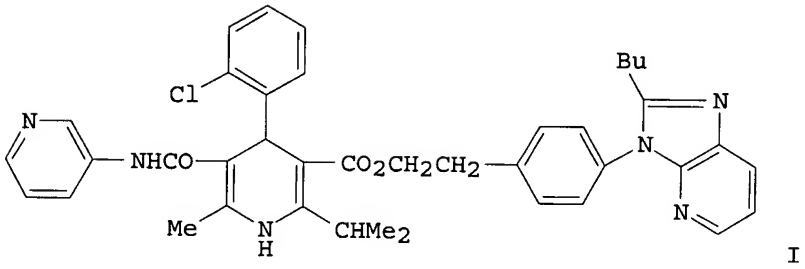
AUTHOR(S): Wester, Ronald T.; Jularski, Christian J.; Magnus-Ayritey, George T.; da Silva Jardine, Paul; LaFlamme, Janet S.; Berke, Helen; Bussolotti, Donald L.; Rauch, Albert L.; Hoover, Karen W.

CORPORATE SOURCE: Dep. Med. Chem., Pfizer Cent. Res., Groton, CT, 06340,

US6114358

	USA
SOURCE:	Bioorganic & Medicinal Chemistry Letters (1994), 4(1), 133-8
	CODEN: BMCLE8; ISSN: 0960-894X
DOCUMENT TYPE:	Journal
LANGUAGE:	English

GI



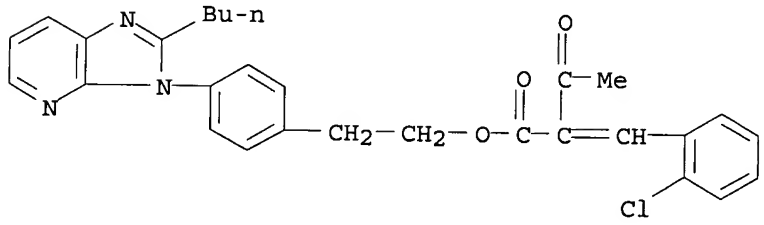
I

AB The synthesis and biol. activities of dihydropyridine angiotensin II (AII) antagonists are described. Compds. such as I are examples of a new, structurally distinct class for AT1-selective agents.

IT **154668-32-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and Hantzsch reaction of, with enamine deriv.)

RN 154668-32-3 CAPLUS

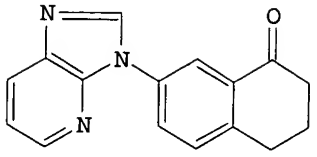
CN Butanoic acid, 2-[(2-chlorophenyl)methylene]-3-oxo-, 2-[4-(2-butyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl ester (9CI) (CA INDEX NAME)



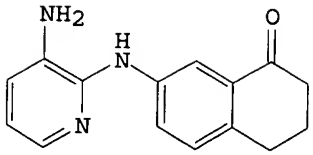
L3 ANSWER 16 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:	1993:449305 CAPLUS
DOCUMENT NUMBER:	119:49305
TITLE:	Ethoxymethylenemalonates and malononitriles (EMM reagents) as formic acid equivalents: synthesis of fused-imidazoles under neutral or mildly acidic conditions
AUTHOR(S):	Segelstein, Barb E.; Chenard, Bert L.; Macor, John E.; Post, Ronald J.
CORPORATE SOURCE:	Cent. Res. Div., Pfizer Inc., Groton, CT, 06340, USA
SOURCE:	Tetrahedron Letters (1993), 34(12), 1897-1900
	CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 119:49305

GI



I



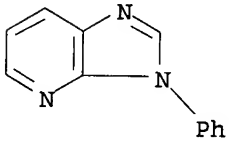
II

AB The use of ethoxymethylenemalonates and malononitriles (EMM reagents) for the efficient synthesis of fused imidazoles and related compds. is described. E.g., imidazolopyridine I was prepd. from ortho-diamine II and di-Et ethoxymethylenemalonate in isopropanol solvent in 88% yield.

IT **61532-33-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 61532-33-0 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 3-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 17 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1991:535998 CAPLUS

DOCUMENT NUMBER: 115:135998

TITLE: Potent, orally active imidazo[4,5-b]pyridine-based angiotensin II receptor antagonists

AUTHOR(S): Mantlo, Nathan B.; Chakravarty, Prasun K.; Ondeyka, Debra L.; Siegl, Peter K. S.; Chang, Raymond S.; Lotti, Victor J.; Faust, Kristie A.; Schorn, Terry W.; Chen, Tsing Bau; et al.

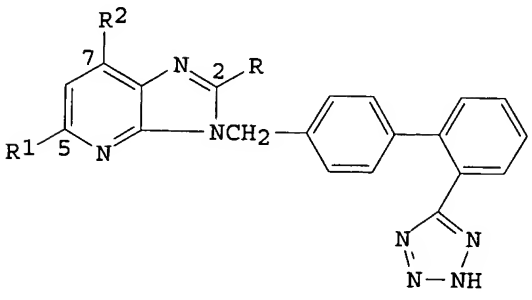
CORPORATE SOURCE: Explor. Chem., Merck Sharp and Dohme Res. Lab., Rahway, NJ, 07065, USA

SOURCE: Journal of Medicinal Chemistry (1991), 34(9), 2919-22
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I

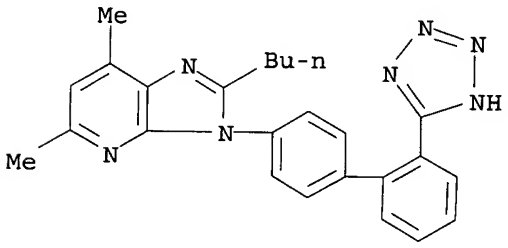
US6114358

AB Several title Angiotensin II (AII) antagonists I (R = Et, Pr, Bu, R1 = R2 = H, Me; R1 = Me, R2 = H; R1 = H, R2 = Me) were prepd. Substituents at the 2, 5, and 7-positions of the imidazopyridine have a profound effect on the in vitro binding affinity to AII receptors (rabbit aorta membrane prepn.) and on the inhibition of the AII-induced pressor responses in conscious rats. The most active compd., I (R = Et, R1 = R2 = Me) is extremely potent in vitro (IC50 = 0.3 nM, rabbit aorta), and in vivo (ED50 = 0.048 mg/Kg i.v. and 0.026 mg/Kg p.o., conscious rat). This compd. is a specific AT1 antagonist, and substantially lowers the blood pressure of high-renin hypertensive rats upon oral dosing (0.1 and 0.3 mg/Kg) with a duration of action exceeding 24 h.

IT **135145-94-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and Angiotensin II antagonist activity of)

RN 135145-94-7 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 2-butyl-5,7-dimethyl-3-[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



L3 ANSWER 18 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1991:114909 CAPLUS

DOCUMENT NUMBER: 114:114909

TITLE: Synthesis and pharmacological properties of some derivatives of 3-phenylimidazo[4,5-b]pyridine

AUTHOR(S): Kaczmarek, Lukasz; Nantka-Namirski, Pawel; Moryl, Elzbieta; Chojnacka-Wojcik, Ewa

CORPORATE SOURCE: Inst. Org. Chem., Pol. Acad. Sci., Warsaw, 01-224, Pol.

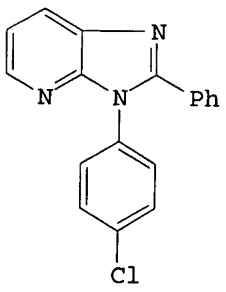
SOURCE: Polish Journal of Pharmacology and Pharmacy (1990), 42(1), 79-84
CODEN: PJPPAA; ISSN: 0301-0244

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 114:114909

GI



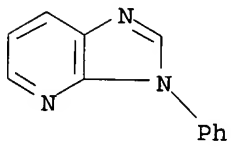
I

US6114358

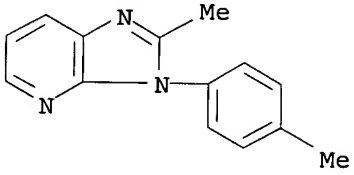
AB The synthesis and properties of some derivs. of 3-phenylimidazo[4,5-b]pyridine were described. The central action of these compds. was investigated, using behavioral tests in mice and rats. The tested compds. showed a potent sedative effect. The compd. (I) has central serotoninolytic properties in the m-chlorophenylpiperazine-induced hyperthermia in rats.

IT **61532-33-0DP**, derivs. **132458-93-6P 132458-94-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and central nervous system pharmacol. of)

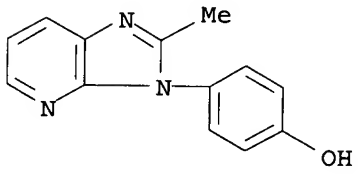
RN 61532-33-0 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine, 3-phenyl- (9CI) (CA INDEX NAME)



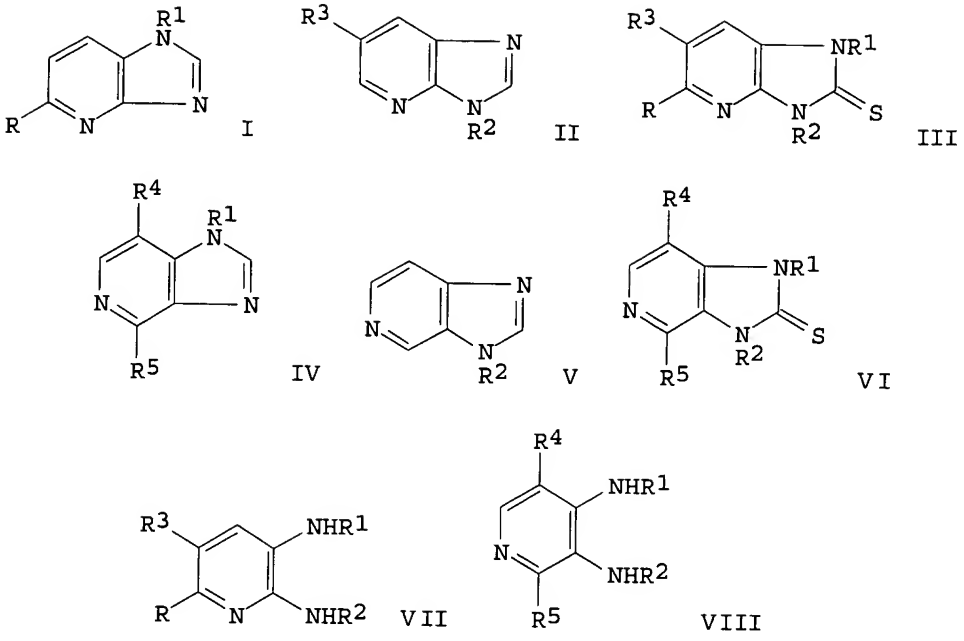
RN 132458-93-6 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine, 2-methyl-3-(4-methylphenyl)- (9CI) (CA INDEX NAME)



RN 132458-94-7 CAPLUS
CN Phenol, 4-(2-methyl-3H-imidazo[4,5-b]pyridin-3-yl)- (9CI) (CA INDEX NAME)



L3 ANSWER 19 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1989:231514 CAPLUS
DOCUMENT NUMBER: 110:231514
TITLE: Thionation of imidazopyridines
AUTHOR(S): Yutilov, Yu. M.; Svertilova, I. A.
CORPORATE SOURCE: Inst. Fiz.-Org. Khim., Donetsk, 340114, USSR
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1988), (6), 799-804
CODEN: KGSSAQ; ISSN: 0453-8234
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 110:231514
GI

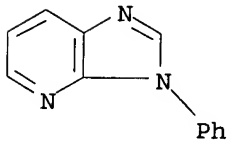


AB Direct thionation of imidazo[4,5-b]pyridines I (R = H, O2N; R1 = Me) and II (R2 = Me, Ph, PhCH2, R3 = H; R2 = H, R3 = H, Cl, Br) with S at 230-260.degree. gave 8 corresponding dihydroimidazopyridinethiones III in 76-99% yield. Analogous reaction of 12 imidazo[4,5-c]pyridines IV (R1 = H, Me2CH, Bu, cyclohexyl, Ph, PhCH2; R4 = H, Br, NO2; R5 = H, Cl, OMe) and V (R2 = Me, Et, Ph, CH2) gave .gtoreq.99% thiones VI (same R1-R5). III and VI were also prepd. in 66-99% by cyclocondensation reaction of the corresponding diaminopyridines VII and VIII, resp., with CS2 or aq. EtOCS2M (M = Na, K) in refluxing pyridine. The IR spectra of III and VI verified the thione structure.

IT **61532-33-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(thionation of)

RN 61532-33-0 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 3-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 20 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1984:34516 CAPLUS

DOCUMENT NUMBER: 100:34516

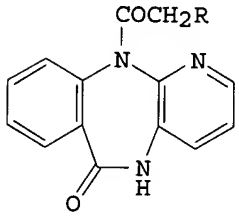
TITLE: New synthesis of 11-acyl-5,11-dihydro-6H-pyrido[2,3-b][1,4]benzodiazepin-6-ones and related studies

AUTHOR(S): Kovac, T.; Oklobdzija, M.; Comisso, G.; Decorte, E.; Fajdiga, T.; Moimas, F.; Angeli, C.; Zonno, F.; Toso, R.; Sunjic, V.

CORPORATE SOURCE: Chem. Res. Co., San Giovanni, Italy

US6114358

SOURCE: Journal of Heterocyclic Chemistry (1983), 20(5), 1339-49
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 100:34516
GI

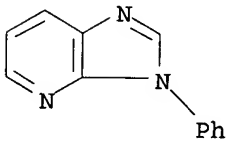


I

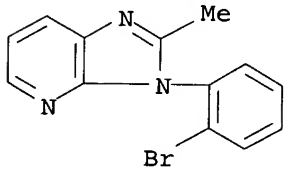
AB 11-Acyl-5,11-dihydro-6H-pyrido[2,3-b][1,4]benzodiazepin-6-ones I (R = 4-methylpiperazino, imidazolo, 2-methylimidazolo) were prepd. via N-.alpha.-chloroacetylation and aminolysis. Other attempts at cyclization to form I are also reported.

IT **61532-33-0P 88369-70-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 61532-33-0 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine, 3-phenyl- (9CI) (CA INDEX NAME)



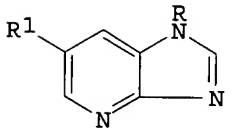
RN 88369-70-4 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine, 3-(2-bromophenyl)-2-methyl- (9CI) (CA INDEX NAME)

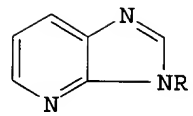


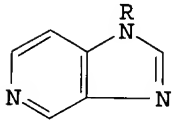
L3 ANSWER 21 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1981:156825 CAPLUS
DOCUMENT NUMBER: 94:156825
TITLE: Cyclization of o-diaminopyridines by amyl ester of formic acid
AUTHOR(S): Ignatenko, A. G.; Eilazyan, O. G.; Svertilova, I. A.; Yutilov, Yu. M.
CORPORATE SOURCE: Inst. Fiz.-Org. Khim. Uglekhim., Donetsk, USSR

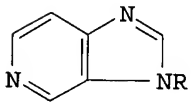
US6114358

SOURCE: Deposited Doc. (1980), VINITI 296, 7 pp. Avail.: VINITI
DOCUMENT TYPE: Report
LANGUAGE: Russian
GI


I


II

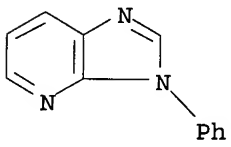

III


IV

AB Imidazopyridines I (R = H, R1 = H, Cl; R = Me, R1 = H), II (R = Me, Ph, PhCH2), III (R = Me, Ph, PhCH2, cyclohexyl), and IV (R = H, Me, PhCH2) were prepd. in 85-98% yields by cyclocondensation of an appropriate o-diaminopyridine with HCO2(CH2)4Me 2-3.5 h at reflux.

IT **61532-33-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 61532-33-0 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine, 3-phenyl- (9CI) (CA INDEX NAME)

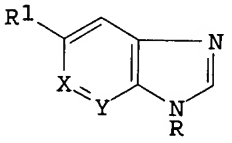


L3 ANSWER 22 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1980:604646 CAPLUS
DOCUMENT NUMBER: 93:204646
TITLE: Imidazo[4,5-b] or [4,5-c] pyridine or their derivatives
INVENTOR(S): Yutilov, Yu. M.; Ignatenko, A. G.; Eilazyan, O. G.; Svertilova, I. A.
PATENT ASSIGNEE(S): Institute of Physical-Organic Chemistry and Coal Chemistry, Academy of Sciences, Ukrainian S.S.R., USSR
SOURCE: U.S.S.R. From: Otkrytiya, Izobret., Prom. Obraztsy, Tovarnye Znaki 1980, (7), 121-2.
CODEN: URXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
SU 717055	T	19800225	SU 1977-2561027	19771229

US6114358

PRIORITY APPLN. INFO.: SU 1977-2561027 19771229
GI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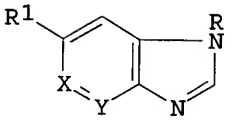
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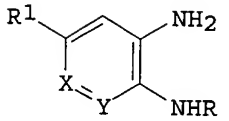
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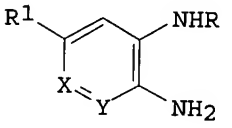
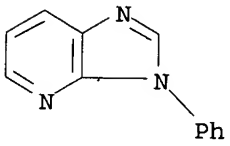

IV

AB Imidazopyridines I (X = CH, Y = N, R = R1 = H, R = Me, Ph, benzyl, R1 = H, R = H, R1 = Cl; X = N, Y = CH, R = R1 = H, R = Me, benzyl, R1 = H) and II (X = CH, Y = N, R = Me, R1 = H; X = N, Y = CH, R = Me, Ph, benzyl, cyclohexyl, R1 = H) were prepd. by treating aminopyridines III or IV with a cyclizing agent, e.g., amyl formate at reflux temp. of the reaction mixt.

IT **61532-33-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 61532-33-0 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 3-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 23 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1979:405227 CAPLUS

DOCUMENT NUMBER: 91:5227

TITLE: Imidazopyridin-2-ones and pharmaceutical compositions and methods of treatment

INVENTOR(S): Clark, Robert L.; Pessolano, Arsenio A.; Shen, Tsung-Ying

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: U.S., 20 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

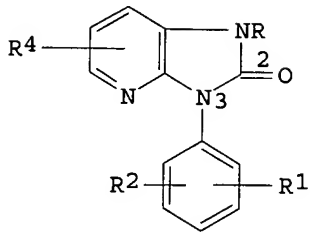
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4144341	A	19790313	US 1977-853975	19771122

PRIORITY APPLN. INFO.: US 1975-601672 19750528
US 1976-670798 19760326

GI

US6114358



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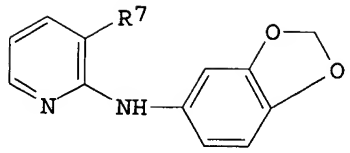


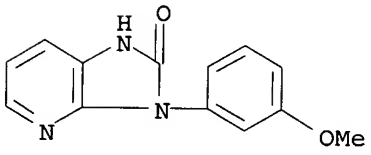
II

AB Imidazopyridines I [R = H, C2-6 alkenyl, C1-7 alkyl (substituted with C3-6 cycloalkyl, C1-5 alkoxy, or OH), C4-7 cycloalkyl; R1, R2, R4 = H, 5- or 6-F, 5- or 6-Cl, 5- or 6-C1-5 alkoxycarbonylamino; R1R2 = OCR5R6O (R5, R6 = H, alkyl)] were prepd. by several methods. Also prepd. were 3-heterocyclyl analogs of I and 2-thiono analogs of I. I and their analogs were analgesics, antipyretics, and antiinflammatory agents (no data). Thus, refluxing 2-chloro-3-nitropyridine with 3,4-(OCH2O)C6H3NH2 in NaOAc-AcOH 5 h gave nitropyridine II (R7 = NO2) which was hydrogenated over Pd/C in MeOH and the diamine II (R7 = NH2) cyclized with COCl2 overnight at room temp. to give I (R = R4 = H, R1R2 = 3,4-OCH2O), which was converted into a variety of I (R = alkyl, alkenyl, acyl, R1R2 = OCH2O, R4 = H).

IT **61963-13-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 61963-13-1 CAPLUS

CN 2H-Imidazo[4,5-b]pyridin-2-one, 1,3-dihydro-3-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 24 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1979:145541 CAPLUS

DOCUMENT NUMBER: 90:145541

TITLE: Synthesis and analgesic activity of 1,3-dihydro-3-(substituted phenyl)imidazo[4,5-b]pyridin-2-ones and 3-(substituted phenyl)-1,2,3-triazolo[4,5-b]pyridines

AUTHOR(S): Clark, Robert L.; Pessolano, Arsenio A.; Shen, Tsung-Ying; Jacobus, David P.; Jones, Howard; Lotti, Victor J.; Flataker, Lars M.

CORPORATE SOURCE: Merck Sharp and Dohme Res. Lab., Rahway, NJ, USA

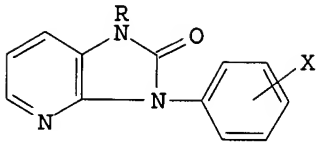
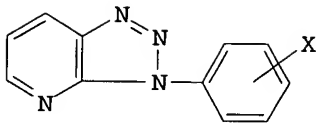
SOURCE: Journal of Medicinal Chemistry (1978), 21(9), 965-78
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

GI

US6114358

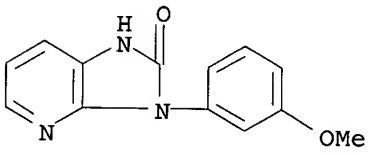

I

II

AB One hundred-thirty imidazo[4,5-b]pyridin-2-ones (I, R = H or alkyl, X = H, halo, alkyl, NH2, etc.) and 60 triazolo[4,5-b]pyridines (II, X = H, halo, alkyl, alkoxy, NO2, etc.) were prepd., eg by cyclizing 3-nitro-2-anilinopyridines with COCl2, urea, or NaNO2. I and II increased the pain threshold of both the inflammed and the normal foot in a modified Randall-Selitto test. I (R = H, X = 3,4-OCH2O), I (R = allyl, X = 3,4-OCH2O), I (R = CHMe2, X = 3,4-OCH2O), II (X = H) and II (X = F) were the most active compds. The analgesic activity of I was superior to that of codeine or D-propoxyphene, while showing no narcotic characteristics. Some I and II were effective in the carrageenin edema test.

IT **61963-13-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and analgesic activity of)

RN 61963-13-1 CAPLUS

CN 2H-Imidazo[4,5-b]pyridin-2-one, 1,3-dihydro-3-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 25 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1978:73920 CAPLUS

DOCUMENT NUMBER: 88:73920

TITLE: Basicity of some derivatives of imidazo[4,5-.beta.]pyridine

AUTHOR(S): Korzhenevskaya, N. G.; Titov, E. V.; Svertilova, I. A.; Bystrova, R. M.; Yutilov, Yu. M.

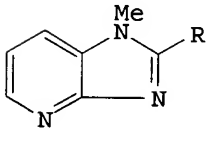
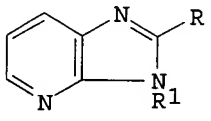
CORPORATE SOURCE: Inst. Fiz.-Org. Khim. Uglekhim., Donetsk, USSR

SOURCE: Deposited Doc. (1975), VINITI 3772-75, 6 pp. Avail.: VINITI

DOCUMENT TYPE: Report

LANGUAGE: Russian

GI

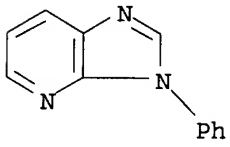

I

II

AB The basicities of I (R = H, Cl, Me) and II (R,R1 = H, Me; Me, Me; Cl, Me;

NH2, Me; Me2N, Me; MeS, Ph; H, PhCH2; H, Ph, Cl, Ph) were detd. spectrally and correlated with structure.

IT **61532-33-0**
RL: PRP (Properties)
(basicity of)

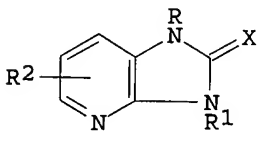
RN 61532-33-0 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine, 3-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 26 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1977:106592 CAPLUS
DOCUMENT NUMBER: 86:106592
TITLE: 1,3-Dihydroimidazo[4,5-b]pyridin-2-ones and thiones
INVENTOR(S): Clark, Robert Long; Pessolano, Arsenio A.; Shen, Tsung-Ying
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Ger. Offen., 83 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2623469	A1	19761216	DE 1976-2623469	19760525
DK 7602100	A	19761129	DK 1976-2100	19760512
SE 422799	B	19820329	SE 1976-5399	19760512
SE 422799	C	19820708		
NL 7605131	A	19761130	NL 1976-5131	19760513
AU 7614055	A1	19771124	AU 1976-14055	19760518
AU 510273	B2	19800619		
FR 2312248	A1	19761224	FR 1976-15430	19760521
FR 2312248	B1	19790921		
BE 842255	A1	19761126	BE 1976-167360	19760526
ZA 7603164	A	19770525	ZA 1976-3164	19760526
ES 448280	A1	19780301	ES 1976-448280	19760526
GB 1542940	A	19790328	GB 1976-21886	19760526
HU 20154	O	19810627	HU 1976-ME1980	19760527
HU 177865	P	19820128		
JP 51143696	A2	19761210	JP 1976-61324	19760528
CH 635586	A	19830415	CH 1976-6718	19760528

PRIORITY APPLN. INFO.: US 1975-601672 19750528
GI



I

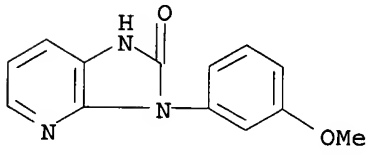
US6114358

AB Imidazopyridinones and thiones I [R = e.g. H, Pr, H2C:CHCH2, Me, PhCH2; R1 = e.g. Ph, 2,4-(MeO)2C6H3, 2,4-Me2C6H3, 3,4-(OCH2O)C6H3, 2-BrC6H4, 3-FC6H4, 2-methyl-6-pyridinyl, 1,3-dihydro-5-isobenzofuranyl; R2 = e.g. H, 6-Me, 6-NO2, 6-NH2; X = O, S], useful as analgesics, antipyretics and inflammation inhibitors (no data), are prepd. by reaction of 2-chloro-3-nitropyridine (II) with an aniline, redn. of the resulting 2-anilino-3-nitropyridine to the 3-amino-2-anilinopyridine and cyclocondensation with COCl2 or CSCl2, for example. Thus, reaction of II with 3,4-methylenedioxyaniline gives 2-[3,4-(methylenedioxy)anilino]-3-nitropyridine, which is reduced and cyclocondensed with COCl2 to give I [R = R2 = H, R1 = 3,4-(OCH2O)C6H3, X = O].

IT **61963-13-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 61963-13-1 CAPLUS

CN 2H-Imidazo[4,5-b]pyridin-2-one, 1,3-dihydro-3-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 27 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1977:55343 CAPLUS

DOCUMENT NUMBER: 86:55343

TITLE: Direct hydroxylation of N-substituted imidazo[4,5-b]pyridine and imidazo[4,5]pyridine

AUTHOR(S): Yutilov, Yu. M.; Svertilova, I. A.

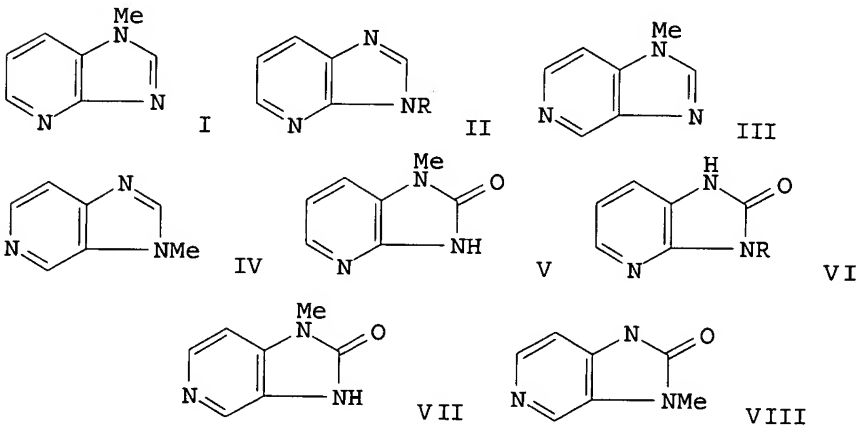
CORPORATE SOURCE: Inst. Fiz. Org. Khim. Uglekhim., Donetsk, USSR

SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1976), (9), 1252-4
CODEN: KGSSAQ; ISSN: 0132-6244

DOCUMENT TYPE: Journal

LANGUAGE: Russian

GI



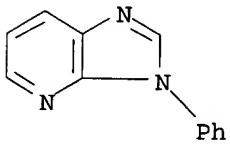
US6114358

AB Hydroxylation of I, II (R = Me, PhCH2), III, and IV by heating with KOH at 150-90.degree. gave 27-98% yields of V, VI (R = Me, PhCH2), VII, and VIII.

IT **61532-33-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydroxylation of)

RN 61532-33-0 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 3-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 28 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1976:164739 CAPLUS

DOCUMENT NUMBER: 84:164739

TITLE: Synthesis and reactions of 2-arylamino-3-nitroquinolines

AUTHOR(S): Schaefer, Harry; Gewald, K.; Seifert, M.

CORPORATE SOURCE: Sekt. Chem., Tech. Univ. Dresden, Dresden, Ger. Dem. Rep.

SOURCE: Journal fuer Praktische Chemie (Leipzig) (1976), 318(1), 39-50
CODEN: JPCEAO; ISSN: 0021-8383

DOCUMENT TYPE: Journal

LANGUAGE: German

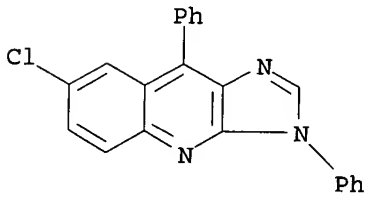
GI For diagram(s), see printed CA Issue.

AB The condensation of PhNH(MeS)C:CHNO2 (I) with acylanilines II (R = Ph, Me, 4-MeC6H4, HO; R1 = H, Br, Cl) gave nitroquinolines III. Similarly thiophenecarboxylates IV (n = 4, 5) gave thienopyridines V. The redn. of the 3-NO2 of III to NH2 followed by cycloaddn. reactions using NaNO2-HCl, HCO2H, MeCOCO2H, AcCH2CO2Et, and MeCOCOMe gave VI-X (R = Me, Ph; R1 = H, Cl).

IT **59163-27-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 59163-27-8 CAPLUS

CN 3H-Imidazo[4,5-b]quinoline, 7-chloro-3,9-diphenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 29 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1975:4251 CAPLUS

DOCUMENT NUMBER: 82:4251

TITLE: Imidazo[4,5-b]pyridines

INVENTOR(S): Kutter, Eberhard; Austel, Volkhard; Diederen, Willi

PATENT ASSIGNEE(S): Thomae, Dr. Karl., G.m.b.H.

SOURCE: Ger. Offen., 62 pp.

US6114358

CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2305339	A1	19740808	DE 1973-2305339	19730203
DE 2305339	B2	19790823		
DE 2305339	C3	19800508		
FI 58126	B	19800829	FI 1973-3989	19731227
FI 58126	C	19801210		
AT 7400164	A	19760215	AT 1974-164	19740110
AT 332873	B	19761025		
ES 422450	A1	19760501	ES 1974-422450	19740119
CS 200169	P	19800829	CS 1974-443	19740123
SU 563917	D	19770630	SU 1974-1990335	19740129
NL 7401254	A	19740806	NL 1974-1254	19740130
NL 173645	B	19830916		
NL 173645	C	19840216		
CH 605939	A	19781013	CH 1974-1363	19740131
RO 79057	P	19820625	RO 1974-77478	19740131
RO 84276	P	19840523	RO 1974-106042	19740131
BE 810545	A1	19740801	BE 1974-140502	19740201
FR 2215968	A1	19740830	FR 1974-3491	19740201
JP 49102693	A2	19740927	JP 1974-13568	19740201
JP 57048556	B4	19821016		
DD 108989	C	19741012	DD 1974-176324	19740201
AU 7465129	A1	19750807	AU 1974-65129	19740201
GB 1445824	A	19760811	GB 1974-4808	19740201
HU 170909	P	19770928	HU 1974-TO951	19740201
CA 1041502	A1	19781031	CA 1974-191585	19740201
NO 139386	C	19790228	NO 1974-327	19740201
NO 139386	B	19781120		
DK 140760	B	19791112	DK 1974-563	19740201
DK 140760	C	19800421		
SE 411451	B	19791227	SE 1974-1393	19740201
SE 411451	C	19800417		
PL 93127	P	19770530	PL 1974-168533	19740202
ZA 7400695	A	19751029	ZA 1974-695	19740204
US 3985891	A	19761012	US 1975-606886	19750822
SU 634673	D	19781125	SU 1975-2170503	19750827

PRIORITY APPLN. INFO.:

DE 1973-2305339	19730203
DE 1973-2361757	19731212
US 1974-439362	19740204

GI For diagram(s), see printed CA Issue.

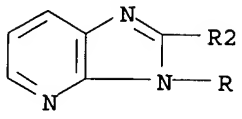
AB Imidazopyridines (100 compds.) including the pos. inotropic I (R = OMe, R1 = 4-OMe, 4-Cl, 4-Me, 4-SMe, 4-SOMe, 5-SMe; R = OEt, R1 = 4-OMe, 4-Me; R = OCH2CH2SOMe, R1 = 4-OMe, 4-SMe) and some 3-alkyl and pyridine ring-substituted compds. were prepd. Thus, 2,3-pyridinediamine was cyclized with 2,4-(MeO)2C6H3CO to give 85% I (R = OMe, R1 = 4-OMe) which at 1 .times. 10- nl increased the contraction amplitude of isolated guinea rium by 57%.

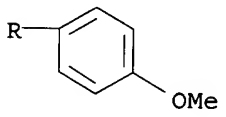
IT **53930-82-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

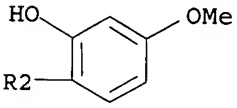
RN 53930-82-8 CAPLUS

CN Phenol, 5-methoxy-2-[3-(4-methoxyphenyl)-3H-imidazo[4,5-b]pyridin-2-yl]- (9CI) (CA INDEX NAME)

US6114358







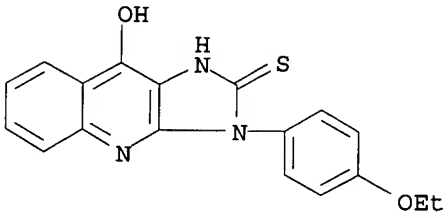
L3 ANSWER 30 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1961:22770 CAPLUS
DOCUMENT NUMBER: 55:22770
ORIGINAL REFERENCE NO.: 55:4507f-i,4508a-b
TITLE: Antispasmodic compounds
AUTHOR(S): Sabata, B. K.; Tripathy, P. B.; Rout, M. K.
CORPORATE SOURCE: Ravenshaw College, Cuttack
SOURCE: J. Proc. Inst. Chemists (India) (1960), 32, 147-50
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB Compds. prepd. by the method of Pujari and R. (CA 50,295a) were: 3-(4-ethoxyphenyl)-2-thiohydantoin (I), m. 122-3.degree., yield 80%; 3-(2-ethoxyphenyl)-2-thiohydantoin, m. 132.degree., yield 78%; 3-(4-methoxyphenyl)-2-thiohydantoin, m. 208.degree., yield 75%; 3-(4-bromophenyl)-2-thiohydantoin, m. 238.degree., yield 75%; 3-(1-naphthyl)-2-thiohydantoin, m. 176.degree., yield 78%. 3-(4-Ethoxyphenyl)-5-(2-nitrobenzylidene)-2-thiohydantoin (II), prepd. in 70% yield by refluxing 2.5 hrs. a soln. of 0.6 g. 2-nitrobenzaldehyde, 1 g. I, and 1.3 g. fused NaOAc in 15 ml. glacial HOAc, m. 165.degree. (alc.). Compds. prepd. similarly were: 3-(2-ethoxyphenyl)-5-(2-nitrobenzylidene)-2-thiohydantoin, m. 123.degree., yield 72%: 3-(4-methoxyphenyl)-5-(2-nitrobenzylidene)-2-thiohydantoin, m. 205.degree., yield 70%; 3-(4-bromophenyl)-5-(2-nitrobenzylidene)-2-thiohydantoin, m. 191-2.degree., yield 70%; and 3-(1-naphthyl)-5-(2-nitrobenzylidene)-2-thiohydantoin, m. 203.degree., yield 65%. III (R = p-EtOC6H4, R' = H), m. 203.degree. (decompn.), was prepd. in 65% yield by refluxing 1.5 g. II in 20 ml. glacial HOAc with Zn dust until the mixt. was nearly colorless. Other III prepd. similarly were (R, R', m.p., and % yield given): o-EtOC6H4, H, 159.degree., 68; p-MeOC6H4, H, 250.degree., 60; p-BrC6H4, H, 162.degree., 60; and 1-C10H7, H, 194.degree., 65. III (R = p-EtOC6H4, R' = OH), m. 128-9.degree. (alc.), was prepd. in 60% yield by fusing 2 g. I and 1.3 g. anthranilic acid (IV) at 150-60.degree., adding 1.23 g. finely powd. anhyd. NaOAc during 1 hr., and heating 4-5 hrs. The cooled mass was treated with NaHCO3 soln. to remove unreacted IV and the residue dissolved in alkali. The filtrate, on acidification gave the product. III prepd. similarly were (R, R', m.p., and % yield given): o-MeOC6H4, OH, 141-2.degree., 65; p-MeOC6H4, OH, 143.degree., 58; p-BrC6H4, OH, 224.degree., 59; and 1-C10H7, OH, 108.degree., 60. Quinolino[2',3':4,5]thiazolidin-2-one, m. 247.degree., was prepd. in 68% yield by reducing a soln. of 5-(2-nitrobenzylidene)-2,4-thiazolidinedione [prepd. by condensing 2,4-thiazolidinedione (V) with 2-nitrobenzaldehyde]

with Zn dust. 4'-Hydroxyquinolino[2',3':4,5]thiazolidin-2-one, m. 129.degree., was prepd. in 60% yield by fusing V with 1.2 moles IV in the presence of fused NaOAc.

IT **113013-54-0**, 2H-Imidazo[4,5-b]quinoline-2-thione, 3-[p-ethoxyphenyl]-1,3-dihydro-9-hydroxy- **131409-17-1**, 2H-Imidazo[4,5-b]quinoline-2-thione, 3-(p-bromophenyl)-1,3-dihydro-9-hydroxy-
(prepn. of)

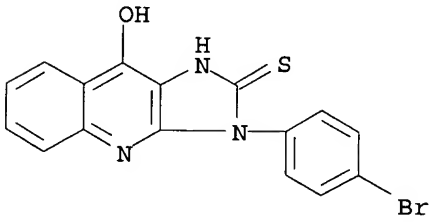
RN 113013-54-0 CAPLUS

CN 2H-Imidazo[4,5-b]quinoline-2-thione, 3-(p-ethoxyphenyl)-1,3-dihydro-9-hydroxy- (6CI) (CA INDEX NAME)



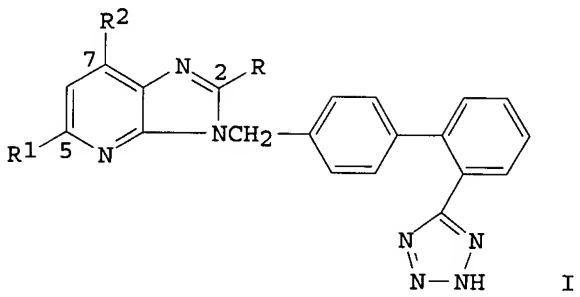
RN 131409-17-1 CAPLUS

CN 2H-Imidazo[4,5-b]quinoline-2-thione, 3-(p-bromophenyl)-1,3-dihydro-9-hydroxy- (6CI) (CA INDEX NAME)



US6114358

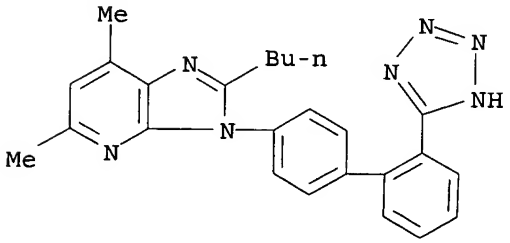
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1991:535998 CAPLUS
DOCUMENT NUMBER: 115:135998
TITLE: Potent, orally active imidazo[4,5-b]pyridine-based angiotensin II receptor antagonists
AUTHOR(S): Mantlo, Nathan B.; Chakravarty, Prasun K.; Ondeyka, Debra L.; Siegl, Peter K. S.; Chang, Raymond S.; Lotti, Victor J.; Faust, Kristie A.; Schorn, Terry W.; Chen, Tsing Bau; et al.
CORPORATE SOURCE: Explor. Chem., Merck Sharp and Dohme Res. Lab., Rahway, NJ, 07065, USA
SOURCE: Journal of Medicinal Chemistry (1991), 34(9), 2919-22
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB Several title Angiotensin II (AII) antagonists I (R = Et, Pr, Bu, R1 = R2 = H, Me; R1 = Me, R2 = H; R1 = H, R2 = Me) were prepd. Substituents at the 2, 5, and 7-positions of the imidazopyridine have a profound effect on the in vitro binding affinity to AII receptors (rabbit aorta membrane prepn.) and on the inhibition of the AII-induced pressor responses in conscious rats. The most active compd., I (R = Et, R1 = R2 = Me) is extremely potent in vitro (IC50 = 0.3 nM, rabbit aorta), and in vivo (ED50 = 0.048 mg/Kg i.v. and 0.026 mg/Kg p.o., conscious rat). This compd. is a specific AT1 antagonist, and substantially lowers the blood pressure of high renin hypertensive rats upon oral dosing (0.1 and 0.3 mg/Kg) with a duration of action exceeding 24 h.

IT **135145-94-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and Angiotensin II antagonist activity of)
RN 135145-94-7 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine, 2-butyl-5,7-dimethyl-3-[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



US6114358

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AUTHOR(S): Mantlo, Nathan B.; Chakravarty, Prasun K.; Ondeyka, Debra L.; Siegl, Peter K. S.; Chang, Raymond S.; Lotti, Victor J.; Faust, Kristie A.; Schorn, Terry W.; Chen, Tsing Bau; et al.
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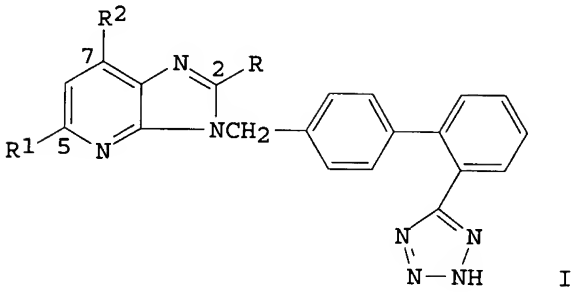
GI



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